S.N. 10/0
RECEIVED
MAY 0 8 2002
TECH CENTER 1600/2900

OIPE JC54
MAY 0 6 2002
PATENT & TRADEMARK OFFICE

THE PATENTS ACT, 1970

It is hereby certified that annexed hereto is a true copy of the Provisional specification filed in respect of Patent application No.1124/MAS/2000 dated 26th December, 2002 by Dr. Reddy's Research Foundation, an Indian company having its registered office at 7-1-27, Ameerpet, Hyderabad – 500 016, A.P.,India.....

RECEIVED
MAY 16 2002
TECH CENTER 1600/2900

.....In witness thereof

I have hereunto set my hand

Dated this the 21st day of March 2002
30th day of phalguna, 1923 (Saka)

(DR.W.M. DHUMANE)
DEPUTY CONTROLLER OF PATENTS & DESIGNS

PATENT OFFICE BRANCH
CHENNAI – 600 018

FORM 1
THE PATENTS ACT, 1970
APPLICATION FOR GRANT OF A PATENT (Section 5(2), 7and Rule 33A)

We, Dr. Reddy's Research Foundation, an Indian company having its registered office at 7-1-27, Ameerpet, Hyderabad, Andhra Pradesh, INDIA, 500 016 hereby declare

1.(a) that we are in possession of an invention titled **NOVEL HETEROCYCLIC COMPOUNDS HAVING ANTIBACTERIAL ACTIVITY : PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSTIONS CONTAINING THEM**

(b) that the provisional specification relating to this invention is filed with this application.

(c) that there is no lawful ground of objection to the grant of a patent to us.

2. further declare that the inventors for the said invention are NATESAN SELVAKUMAR, JAVED IQBAL, MAGADI SITARAM KUMAR, MAMIDI NAGA VENKATA SRINIVASA RAO, RAMANUJAM RAJAGOPALAN, BRAJ BHUSHAN AND SUNDARABABU BASKARAN, All citizens & residents of India belonging to Dr. REDDY'S RESEARCH FOUNDATION, 7-1-27, AMEERPET, HYDERABAD - 500 016

3. that we are the assignee of the true and first inventors

4. that our address for service in India is as follows ;

The President
Dr. Reddy's Research Foundation
7-1-27, Ameerpet
Hyderabad, A.P., 500 016

5. We, the true and first inventors for this invention declare that the applicant herein is our assignee

(Signed)_____
NATESAN SELVAKUMAR

(Signed)_____
JAVED IQBAL

(Signed)_____
MAGADI SITARAM KUMAR

(Signed)_____
MAMIDI NAGA VENKATA SRINIVASA RAO

(Signed)_____
RAMANUJAM RAJAGOPALAN

(Signed)_____
SUNDARABABU BASKARAN

(Signed)_____
BRAJ BHUSHAN LOHRAY

RECEIVED
MAY 16 2002
TECH CENTER 1600/2900

6. that to the best of our knowledge, information and belief, the fact and matters stated herein are correct and that there is no lawful ground of objection to the grant of patent to us on this application

7. following are the attachments with the application

(a) provisional specification (63 pages, in triplicate)

(b) fee Rs. 5000.00 (five thousand rupees only) in bank draft bearing no. 035361 dated December 20, 2000 drawn on Canara Bank.

We request that a patent may be granted to us for the said invention

Dated this Twenty second (22nd) day of December 2000

(Signed)_____
Dr. A. Venkateswarlu
President
Dr. Reddy's Research Foundation

To,
The Controller of Patents
The Patents Office Branch, Chennai.

FORM 2

THE PATENTS ACT, 1970

PROVISIONAL SPECIFICATION

(SECTION 10)

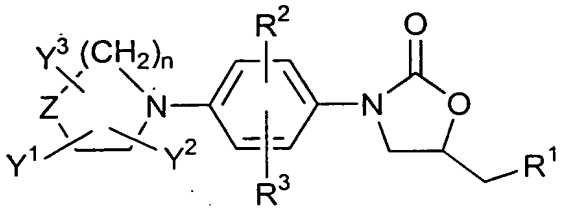
NOVEL HETEROCYCLIC COMPOUNDS HAVING ANTIBACTERIAL ACTIVITY; PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Dr. Reddy's Research Foundation,
an Indian Company having its registered office at
7-1-27, Ameerpet
Hyderabad - 500 016, Andhra Pradesh, India

THE FOLLOWING SPECIFICATION DESCRIBES THE NATURE OF THE INVENTION :

Filed of the Invention

The present invention relates to novel oxazolidinone compounds, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutical compositions containing them. More particularly, the present invention relates to novel oxazolidinones of the general formula (I).



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutical compositions containing them.

The present invention also relates to a process for the preparation of the above said novel compounds, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutical compositions containing them.

The present invention also relates to novel intermediates, methods for their preparation and their use in the preparation of compounds of formula (I).

Oxazolidinones are useful as antibacterials (J. Med. Chem., 1996, 39, 673), antihistamines and ant allergic agents (EP 291,244), anticonvulsants (DE 3,915,184), treating cognition disorders, ant psychotics, platelet ant aggregators, antidepressants, sedatives, hypnotics, monoamine oxidase inhibitors (WO 97/13768) and as chiral auxiliaries (Aldrichimica Acta, 1982, 15 23) in asymmetric synthesis.

Background of the Invention

Since the discovery of penicillin, pharmaceutical companies have produced more than one hundred antibacterial agents to combat a wide variety of bacterial infections. In the past several years, due to the misuse of these antibiotics there has been rapid

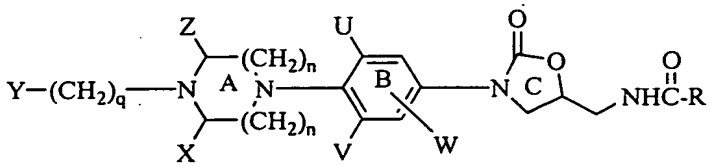
emergence of bacterial resistance to several of these antibiotics. The multidrug resistance among these bacterial pathogens may also be due to mutation leading to more virulent clinical isolation, the most disturbing milestone has been the acquisition of resistance to vancomycin, an antibiotic generally regarded as the agent of last resort for serious Gram-positive infections. This growing multidrug resistance has recently rekindled interest in the search for new structural class of antibiotic that inhibit or kill these bacteria possibly by novel mechanisms.

A problem of larger dimension is the increasing incidence of the more virulent, methicillin-resistant *Staphylococcus aureas* (MRSA) among clinical isolates found worldwide. As with vancomycin resistant organisms, many MRSA strains are resistant to most of the known antibiotics, but MRSA strains have remained sensitive to vancomycin. However, in view of the increasing reports of vancomycin resistant clinical isolates and growing problem of bacterial resistance, there is an urgent need for new molecular entities effective against the emerging and currently problematic Gram-positive organisms.

Recently, several Oxazolidinones have been discovered, which inhibit protein synthesis by binding to the 50S-ribosomal subunit which is close to the site to which chloramphenicol and lincomycin bind but their mode of action is mechanistically distinct from these two antibiotics.

The new class of oxazolidinones of the present invention is useful for the treatment of a number of resistant and sensitive gram-positive strains both *in vitro* and *in vivo*. Some of the hitherto known compounds described in the prior art are outlined below:

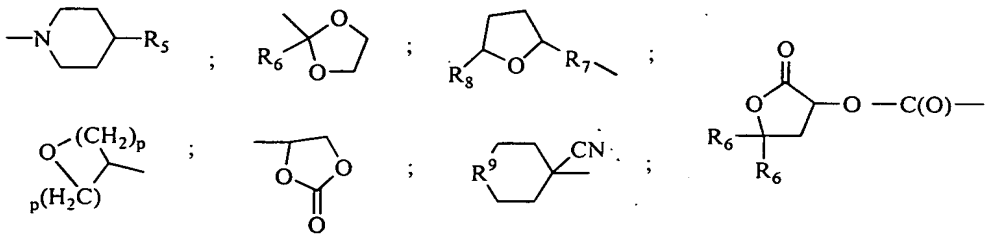
i) International Patent Application WO 93/23384 discloses compounds of formula (IIa)



(IIa)

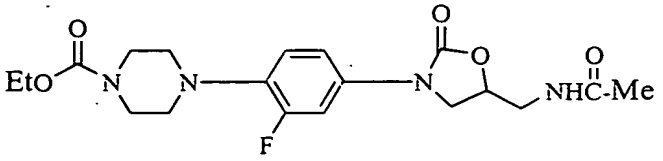
where Y represents a hydrogen atom, $(C_1\text{-}C_6)$alkyl or aryl, OH, $O(C_1\text{-}C_6)$alkyl, O-vinyl, O-phenyl, $O\text{-}C(=O)(C_1\text{-}C_6)$alkyl, -O-C(=O)-phenyl (phenyl can be substituted with one to three F, Cl, OCH_3, OH, NH_2, or $(C_1\text{-}C_4)$alkyl) or $O\text{-}C(=O)\text{-}O\text{-}CH_3$, $S\text{-}(C_1\text{-}C_6)$alkyl, $SO_2\text{-}(C_1\text{-}C_6)$alkyl, $\text{-}SO_2\text{-}N(R^3)_2$, (where R^3 is independently hydrogen, $(C_1\text{-}C_4)$alkyl or phenyl which can be substituted with one to three F, Cl, OCH_3, OH, NH_2, or $(C_1\text{-}C_4)$alkyl);

$\text{-}C(=O)\text{-}(C_1\text{-}C_6)$alkyl, $\text{-}C(=O)\text{-}O\text{-}(C_1\text{-}C_6)$alkyl, $\text{-}C(=O)\text{-}N(R^3)_2$, $\text{-}C(=O)\text{-}CH(R^4)N(R^3)_2$, $\text{-}C(=O)\text{-}CH(R^4)\text{-}NH\text{-}C(NH)\text{-}NH_2$ (where R^4 is an amino acid side chain); $\text{-}N(R^3)_2$, $\text{-}N(CH_2)_m$ (where m is 2-6 and forms a cyclic structure with the nitrogen atom and where one or more carbon atoms can be replaced with S, O or NR^3), $\text{-}C(CH_3)\text{=}N\text{-}OR$ or Y represents any of the groups given below :



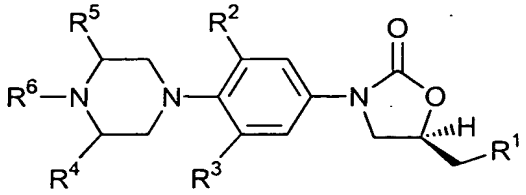
where R^5 is OH, OCH_3, CH_2OH, CH_2OCH_3, CO_2CH_3, $CO_2C_2H_5$, R^6 represents CH_3 or hydrogen, R^7 represents CH_2 or C(=O), R^8 represents hydrogen or =O, p is 1 or 2, R^9 represents O, S, S(O), SO_2, CH_2, NH, NCH_3, NC_2H_5, NCHO, $NCOCH_3$ or NCO_2CH_3, wherein each occurrence of said $(C_1\text{-}C_6)$alkyl may be substituted with one or more F, Cl, Br, I, OR^1, $COOR^1$, CN, SR^1 or R^1 (where R^1 is a hydrogen or $(C_1\text{-}C_4)$alkyl); X and Z are independently $(C_1\text{-}C_6)$alkyl, $(C_3\text{-}C_{12})$cycloalkyl or hydrogen or X and Z form a $(C_0\text{-}C_3)$ bridging group, preferably X and Z are hydrogen; U, V and W are independently $(C_1\text{-}C_6)$alkyl, F, Cl, Br, hydrogen or a $(C_1\text{-}C_6)$alkyl substituted with one or more of F, Cl, Br or I, preferably U and V are F and W is hydrogen; R is hydrogen, $(C_1\text{-}C_{12})$alkyl, $(C_3\text{-}C_{12})$cycloalkyl, $(C_1\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$alkyl substituted with one or more F, Cl, Br, I or OH , n is 1 or 2; and q is 0-4 inclusive.

An example of this class of compounds is shown in formula (IIb)



(IIb)

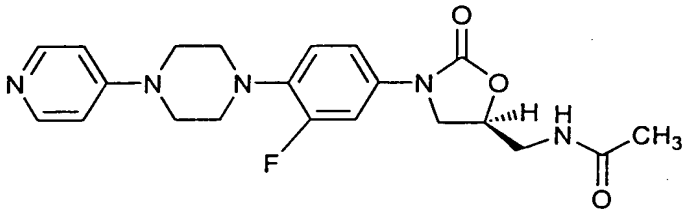
ii) International Patent Application WO 98/01447 discloses compounds of formula (IIc)



(IIc)

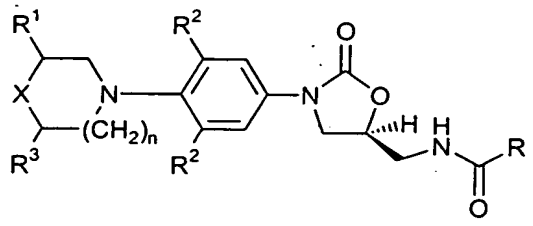
wherein R^1 represents $-NHC(=O)R^a$ wherein R^a represents $(C_1\text{-}C_4)$alkyl; R^2 and R^3 represent hydrogen or fluoro; R^4 and R^5 are independently hydrogen or methyl; R^6 represent pyridyl, optionally substituted by substituents selected from $(C_1\text{-}C_4)$alkyl (optionally substituted), halo, trifluoromethyl, $(C_1\text{-}C_4)$alkyl-$S(O)_n$- (wherein n is 0, 1 or 2), $(C_1\text{-}C_4)$alkyl SO_2amino, $(C_1\text{-}C_4)$alkanoylamino, carboxy, hydroxy, amino, $(C_1\text{-}C_4)$alkylamino, di-$(C_1\text{-}C_4)$alkylamino, $(C_1\text{-}C_4)$alkoxycarbonyl, carbamoyl, N-$(C_1\text{-}C_4)$alkylcarbamoyl, di-(N-$(C_1\text{-}C_4)$alkyl)carbamoyl (wherein the $(C_1\text{-}C_4)$alkyl group on groups in the last two mentioned carbamoyl groups is optionally substituted by hydroxy, $(C_1\text{-}C_4)$alkoxy or $(C_1\text{-}C_4)$alkoxycarbonyl), $(C_2\text{-}C_4)$alkenyl (optionally substituted by carboxy or $(C_1\text{-}C_4)$alkoxycarbonyl), $(C_1\text{-}C_4)$alkoxy, cyano, or nitro groups.

An example of this class of compounds is shown in formula (IId)



(IId)

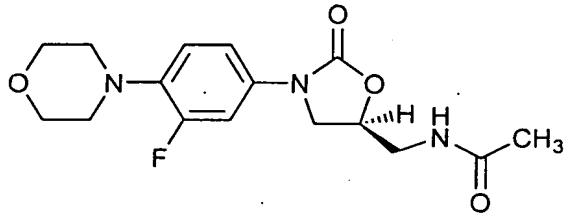
iii) International Patent Application No. WO 95/07271 discloses compounds of formula (IIe)



(IIe)

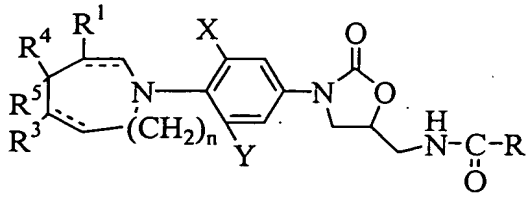
wherein X represents O, S, SO, SO_2, SNR^{10} or $SONR^{10}$; R represents hydrogen, (C_1-C_8)alkyl optionally substituted with one or more of the following : F, Cl, hydroxy, (C_1-C_8)alkoxy, (C_1-C_8)acyloxy or $-OCH_2Ph$ or R represents (C_3-C_6)cycloalkyl, amino, (C_1-C_8)alkylamino, (C_1-C_8)dialkylamino or (C_1-C_8)alkoxy; R^1 represents hydrogen except when X is O, then R^1 can be hydrogen, CH_3, cyano, $-CO_2H$, CO_2R or $(CH_2)_mR^{11}$ (m is 1 or 2); R^2 represents independently hydrogen, F or Cl; R^3 represents hydrogen or CH_3; R^{10} independently represents hydrogen, (C_1-C_4)alkyl (optionally substituted with chloro, fluoro, hydroxy, (C_1-C_8)alkoxy, amino, (C_1-C_8)alkylamino, or (C_1-C_8)dialkylamino) or p-toluenesulfonyl; R^{11} represents hydrogen, hydroxy, OR, OCOR, NH_2, NHCOR or $N(R^{10})_2$; and n is 0, 1 or 2.

An example of this class of compounds is shown in formula (IIf)



(IIf)

iv) International Patent Application WO 95/25106 discloses compounds of formula (IIg)

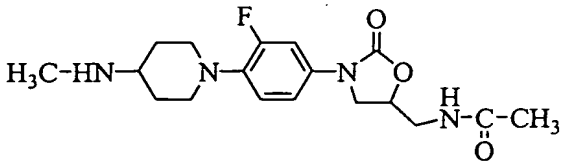


(IIg)

where R is hydrogen atom, (C_1-C_8)alkyl, (C_3-C_6)cycloalkyl, amino, (C_1-C_8)alkylamino, (C_1-C_8)dialkylamino, (C_1-C_8)alkoxy or (C_1-C_8)halogen alkyl; R^1 and R^3 are each and independently represents hydrogen atom, halogen atom, (C_1-C_8)alkyl, (C_3-

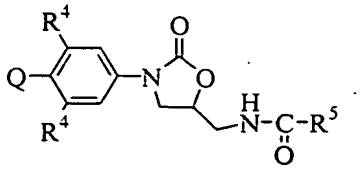
C_6)cycloalkyl, -$(CH_2)_m$-OR^{11} or –C(=O)-R^{41}; X and Y are each and independently represents hydrogen atom, halogen atom; R^4 and R^5 are each and independently represents hydrogen atom, (C_1-C_8)alkyl, (C_1-C_8)alkoxy, (C_1-C_8)alkylthio, -$(CH_2)_m$-OR^{51}, -O-$(CH_2)_m$-OR^{51}, -$NR^{42}R^{52}$, -N=CH-$NR^{44}R^{55}$, -C(=O)-$NR^{42}R^{52}$ or –$(CH_2)_m$-C(=A)-R^{41} or they may combine together to form =O, =NR^{43}, =S, =$CR^{44}R^{54}$ or an optionally substituted, unsaturated or saturated 5 or 6 membered hetero ring having 1-3 hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom; R^{11} and R^{12} are each and independently represents hydrogen atom, (C_1-C_8)alkyl or methoxymethyl; R^{41} is hydrogen atom, -$(CH_2)_m$-OH, (C_1-C_8)alkyl, (C_1-C_8)alkoxy, -O-CH_2-O-C(=O)-R^{11} or –$(CH_2)_m$-C(=O)-OR^{11}; R^{42} and R^{52} are each and independently represents hydrogen atom, -$(CH_2)_m$-OR^{11}, (C_1-C_8)alkyl, –C(=O)-R^{41} - C(=O)-$NR^{11}R^{12}$, -$(CH_2)_p$-phenyl, thiazol-2-yl or they may combine together to form a pyrrolidino group, a piperidino group, a piperazino group, a morpholino group or a thiomorpholino group, each of which may be substituted by (C_1-C_8)alkyl or -$(CH_2)_m$-OH; R^{43} is hydrogen atom, -OR^{51}, (C_1-C_8)alkyl, (C_1-C_8)alkoxy, -$(CH_2)_p$-phenyl, $NR^{42}R^{52}$, -NH-C(=NH)-NH_2, [1,2,4]triazol-4-yl or cyano; R^{44} and R^{55} are each and independently represents hydrogen atom, (C_1-C_8)alkyl, -C(=O)-R^{41} or –$(CH_2)_p$-phenyl; R^{51} is hydrogen atom, (C_1-C_8)alkyl substituted by one or more hydroxy; (C_2-C_8)alkenyl, (C_1-C_8)halogenalkyl, -$(CH_2)_m$-OR^{11}, -$(CH_2)_m$-C(=O)-R^{41}, –C(=O)-$(CH_2)_m$-OR^{44} or tosyl; A is oxygen atom or ethyleneketal; --- is a double bond or a simple bond; m's are each and independently 0, 1 or 2; n is 0 or 1; p's are each and independently 1, 2, 3 or 4;

An example of this class of compounds is shown in formula (IIh)



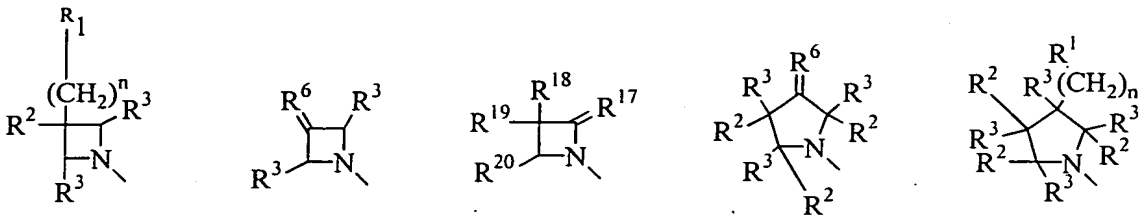
(IIh)

v) International Patent Application WO 96/13502 discloses compounds of formula (IIi)



(IIi)

Q is selected from the structures (a), (b), (c), (d) and (e);

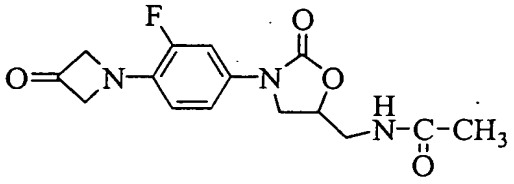


(a) (b) (c) (d) (e)

R^1 is H or F, OR^7, SR^7, NR^8R^9, CN, $(C_1\text{-}C_4)$alkoxycarbonyl, carboxamide, $(C_1\text{-}C_4)$acyl optionally substituted with one or more of the following : fluorine, hydroxy, $(C_1\text{-}C_4)$alkoxy, $(C_1\text{-}C_4)$acyloxy; $NHO(C_1\text{-}C_6)$alkyl or $NHOCH_2Ph$, NSO_2R where R is $(C_1\text{-}C_6)$alkyl optionally substituted with one or more F, Cl, $(C_1\text{-}C_6)$alkoxy or phenyl; R^2 is independently selected from hydrogen or fluorine, hydroxy, OR where R is $(C_1\text{-}C_6)$alkyl; $(C_1\text{-}C_4)$alkyl or Ph; R^3 is independently selected from H, phenyl, pyridyl or $(C_1\text{-}C_3)$alkyl which can be optionally substituted with F, Cl, hydroxy, $(C_1\text{-}C_3)$alkoxycarbonyl, $(C_1\text{-}C_3)$acyloxy, $(C_1\text{-}C_3)$alkoxy or $N(C_1\text{-}C_4$ alkyl$)_2$; R^4 is independently H, OCH_3, F or Cl; R^5 is hydrogen, $(C_1\text{-}C_8)$alkyl optionally substituted with one or more of the following : F, Cl, hydroxy, $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$acyloxy; $(C_3\text{-}C_6)$cycloalkyl, amino, $(C_1\text{-}C_8)$alkylamino, $(C_1\text{-}C_8)$dialkylamino, $(C_1\text{-}C_8)$alkoxy; R^6 is O, S, NR^{10}, $CR^{11}R^{12}$, $(OR)_2$, where R is $(C_1\text{-}C_6)$alkyl; $O(CH_2)_m$ O, $(SR)_2$ where R is $(C_1\text{-}C_6)$alkyl; $S(CH_2)_mS$; R^7 is H, $(C_1\text{-}C_8)$alkyl optionally substituted with one or more of the following : F, Cl, -CN, OH, $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$acyloxy, $(C_1\text{-}C_8)$alkoxycarbonyl, phenyl; $(C_1\text{-}C_8)$acyl optionally substituted with one or more of the following : hydroxy, $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$acyloxy; $(C_1\text{-}C_8)$alkoxycarbonyl, carboxamide optionally substituted with a $(C_1\text{-}C_4)$alkyl or phenyl on the carboxamide nitrogen; phenyl, optionally substituted with one or more of the following : halogen, CN, $(C_1\text{-}C_3)$alkoxy, $(C_1\text{-}C_3)$alkoxycarbonyl, $(C_1\text{-}C_4)$alkyl optionally substituted with one or more of F or $(C_1\text{-}C_3)$ alkoxy; R^8 and R^9 are

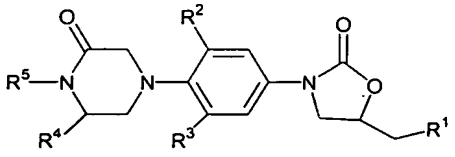
independently selected from H, (C_1-C_8)alkyl optionally substituted with one or more of the following : F, Cl, -CN, OH, (C_1-C_8)alkoxy, (C_1-C_8)acyloxy, (C_1-C_8)alkoxycarbonyl, phenyl; (C_1-C_8)acyl optionally substituted with one or more of the following : hydroxy, (C_1-C_8)alkoxy, (C_1-C_8)acyloxy, amino, (C_1-C_4)acylamino, amino (C_1-C_4)acylamino; benzoyl optionally substituted with one or more of the following F, Cl, hydroxy, (C_1-C_8)alkoxy, (C_1-C_8)acyloxy, amino, (C_1-C_4)acylamino, (C_1-C_4) alkoxycarbonylamino; (C_1-C_8)alkoxycarbonyl, benzyloxycarbonyl, tertbutoxycarbonyl; carboxamide optionally substituted with a (C_1-C_4)alkyl or phenyl on the carboxamide nitrogen; trifluoracetyl, CO(C_1-C_6 alkyl); R^{10} is H, OR^7, NHR^7, (C_1-C_8)alkyl optionally substituted with phenyl; R^{11} and R^{12} are independently selected from H, F, (C_1-C_4)alkyl optionally substituted with halogen, hydroxy, (C_1-C_4)alkoxy, (C_1-C_4)alkoxycarbonyl, phenyl; (C_1-C_8)acyl, (C_1-C_4)alkoxycarbonyl, CN; R^{17} is O or S; R^{18} and R^{19} are independently selected from H, (C_1-C_4)alkyl optionally substituted with halogen, hydroxy, (C_1-C_4)alkoxy; OH, (C_1-C_4)alkoxy optionally substituted with hydroxy or (C_1-C_4)alkoxy; NR^8R^9, -OC(O) (C_1-C_4)alkyl; R^{20} is H, CH_3; n is 0 or 1; m is 2 or 3;

An example of this class of compounds is shown in formula (IIj)



(IIj)

vi) International Patent Application WO 97/27188 discloses compounds of formula (II k)

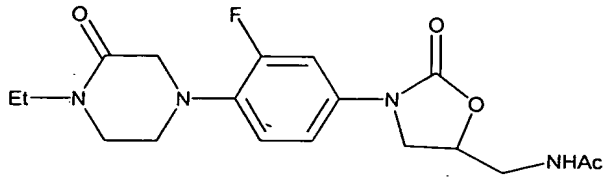


(IIk)

R^1 is of the formula –NHC(=O)(C_1-C_4)alkyl, -NHS(O)$_n$(C_1-C_4)alkyl, wherein n is 0, 1 or 2 or R^1 is hydroxy; R^2 and R^3 are independently hydrogen or fluoro; R^4 is hydrogen, methyl, ethyl or oxo; R^5 is hydrogen, (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_2-C_6)alkynyl, or of the formula $R^6(CH_2)_m$ wherein m is 1-4 and R^6 is trifluoromethyl, difluoromethyl,

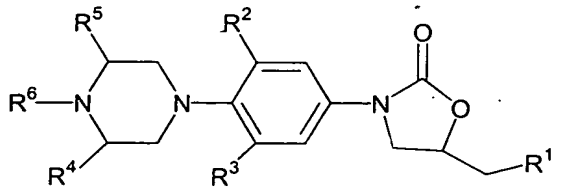
fluoromethyl, (C_1-C_4)alkoxy, (C_1-C_4)alkyl,$S(O)_p$ wherein p is 0, 1 or 2, (C_1-C_6)alkanoyloxy, di-(N-(C_1-C_4)alkyl)amino, N-((C_1-C_4)alkyl)(C_1-C_4)alkanoylamino, cyano, carboxy, (C_1-C_4)alkoxycarbonyl, carbamoyl, -di-(N-(C_1-C_4)alkyl)carbamoyl, N-((C_1-C_4)alkyl)(C_1-C_4)alkanesulphonamido, N^1-((C_1-C_4)alkyl)-di-(N^3-(C_1-C_4)alkyl)ureido or of the formula –OC(=O)NR(R^8) or N(R^9)$SO_2NR^7(R^8)$ wherein R^7 and R^8 are independently hydrogen or (C_1-C_4)alkyl and R^9 is (C_1-C_4)alkyl; or m is 2-4 and R^6 is hydroxy, (C_1-C_4)alkanoylamino, amino, (C_1-C_4)alkylamino, (C_1-C_4)alkanesulphonamido, ureido, di-(N^3-(C_1-C_4)alkyl)ureido or of the formula $NHSO_2NR^7(R^8)$;

An example of this compound is shown in fig (III)



(III)

vii) International Patent Application WO 98/01446 discloses compounds of formula (IIm)

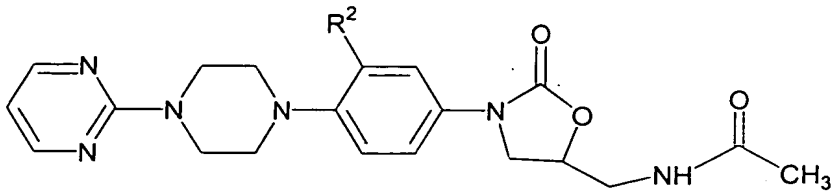


(IIm)

R^1 is of the formula –NHC(=O)R^a wherein R^a is (C_1-C_4)alkyl; R^2 and R^3 are independently hydrogen or fluoro; R^4 and R^5 are independently hydrogen or methyl; R^6 is a 6-membered heteroaryl ring containing 2 or 3 ring nitrogen atoms as the only ring heteroatoms and optionally substituted by substituents selected from (C_1-C_4)alkyl (optionally substituted), halo, trifluoromethyl, (C_1-C_4)alkyl$S(O)_n$- (wherein n is 0, 1 or 2), (C_1-C_4)alkyl$S(O)_2$ amino, (C_1-C_4)alkanoylamino, carboxy, hydroxy, amino, (C_1-C_4)alkylamino, di(C_1-C_4)alkylamino, (C_1-C_4)alkoxycarbonyl, carbamoyl, N-(C_1-C_4)alkylcarbamoyl, -di-(N-(C_1-C_4)alkyl)carbamoyl, [wherein (C_1-C_4)alkyl group or groups in the last two mentioned carbamoyl substituents is optionally substituted by

hydroxy, (C_1-C_4)alkoxy or (C_1-C_4)alkoxycarbonyl], (C_2-C_4)alkenyl (optionally substituted by carboxy or (C_1-C_4)alkoxycarbonyl), (C_1-C_4)alkoxy, cyano or nitro;

An example of this compound is shown in fig (IIn)



(IIn)

Summary of the Invention

With an objective to develop novel compounds effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as MRSA, streptococci and enterococci as well as anaerobic organisms such as *Bacteroides spp*, *Clostridia spp.* species and acid-fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium spp.*, we focussed our research to develop new compounds effective against the above mentioned organisms. Efforts in this direction have led to the preparation of compounds having general formula (I) as defined above.

The main objective of the present invention is therefore, to provide novel Oxazolidinones of the general formula (I) as defined above and their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutical compositions containing them, or their mixtures having enhanced activities, without toxic effect or with reduced toxic effect.

Another objective of the present invention is to provide a process for the preparation of novel Oxazolidinones of the formula (I) as defined above and their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts and their pharmaceutically acceptable solvates.

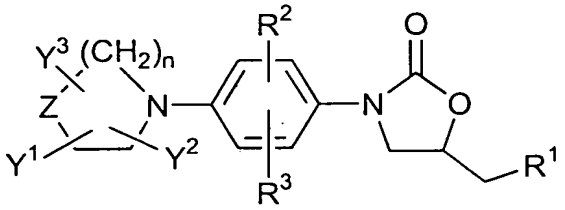
Still another objective of the present invention is to provide pharmaceutical compositions containing compounds of the general formula (I), their analogs, their

derivatives, their tautomers, their stereoisomers, their polymorphs, their salts, solvates or their mixtures in combination with suitable carriers, solvents, diluents and other media normally employed in preparing such compositions .

Yet another objective of the present invention is to provide novel intermediates of formulae (III), (VIII), (X), (XIV), (XVII), (XVIII), (XX) and a process for their preparation and their use in the preparation of compounds of formula (I).

Detailed Description of the Invention

Oxazolidinones of the formula (I)



(I)

wherein R^1 represents halo, azido, thioalcohol, OR^4, NHR^4 or $N(R^4)_2$, where R^4 represents hydrogen atom, or substituted or unsubstituted groups selected from acyl, thioacyl, alkoxycarbonyl, aryloxycarbonyl, alkoxythiocarbonyl, aryloxythiocarbonyl, -C(=O)-C(=O)-alkyl, -C(=O)-C(=O)-aryl, -C(=O)-C(=O)-alkoxy, -C(=O)-C(=O)-aryloxy, -C(=S)-C(=S)-alkyl, -C(=S)-C(=S)-aryl, -C(=S)-C(=S)-alkoxy, -C(=S)-C(=S)-aryloxy, or $S(O)_2(C_1\text{-}C_6)$alkyl; R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, $(C_1\text{-}C_6)$alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from $(C_1\text{-}C_4)$alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, $(C_1\text{-}C_4)$alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups

selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

Suitable groups represented by R^4 may be selected from hydrogen atom, (C_1-C_7) acyl group such as –C(=O)H, –C(=O)CH_3, -C(=O)CH_2CH_3, -C(=O)Ph and the like, the acyl group may be substituted; thio(C_1-C_7)acyl group such as –C(=S)H, –C(=S)CH_3, -C(=S)CH_2CH_3, -C(=S)Ph and the like, the thioacyl group may be substituted; alkoxycarbonyl group containing (C_1-C_6)alkyl group which may be linear or branched such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl and the like, the alkoxycarbonyl group may be substituted; aryloxycarbonyl group such as phenoxycarbonyl, benzyloxycarbonyl group and the like, the aryloxycarbonyl group may be substituted; alkoxythiocarbonyl group such as CH_3O-C(=S)-, C_2H_5-C(=S)-C_3H_7O-C(=S)- and the like, which may be substituted; aryloxythiocarbonyl group such as PhO-C(=S)-, BzO-C(=S)- and the like, which may be substituted; -C(=O)-C(=O)-alkyl group such as -C(=O)-C(=O)methyl , -C(=O)-C(=O)ethyl, -C(=O)-C(=O)propyl and the like, which may be substituted; -C(=O)-C(=O)-aryl group such as -C(=O)-C(=O)phenyl, -C(=O)-C(=O)naphthyl and the like, which may be substituted; -C(=O)-C(=O)-alkoxy group such as -C(=O)-C(=O)methoxy, -C(=O)-C(=O)ethoxy, -C(=O)-C(=O)propyloxy and the like, which may be substituted; -C(=O)-C(=O)-aryloxy group such as -C(=O)-C(=O)phenyloxy, -C(=O)-C(=O)benzyloxy which may be substituted; -C(=S)-C(=S)alkyl group such as -C(=S)-C(=S)methyl, -C(=S)-C(=S)ethyl, -C(=S)-C(=S)propyl and the like, which may be substituted; -C(=S)-C(=S)aryl group such as -C(=S)-C(=S)phenyl, -C(=S)-C(=S)naphthyl and the like, which may be substituted; -C(=S)-C(=S)-alkoxy group such as -C(=S)-C(=S)methoxy, -C(=S)-C(=S)ethoxy, C(=S)-C(=S)propyloxy and the like, which may be substituted; -C(=S)-C(=S)aryloxy group

such as -C(=S)-C(=S)phenyloxy, -C(=S)-C(=S)benzyloxy, which may be substituted; $S(O)_2(C_1\text{-}C_6)$alkyl group such as SO_2CH_3, $SO_2C_2H_5$, $SO_2C_3H_7$, $SO_2CH(CH_3)CH_3$ and the like, which may be substituted.

When the groups represented by R^4 are substituted, the substituents may be selected from halogen atom such as chlorine, fluorine, bromine and iodine; hydroxy, cyano, nitro.

Suitable groups represented by R^2 and R^3 may be selected from hydrogen, halogen atom such as fluorine, chlorine or bromine; $(C_1\text{-}C_6)$alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, iso-butyl, t-butyl, n-pentyl, iso-pentyl, n-hexyl and the like.

Suitable groups represented by Y^2, when n is 1 are selected from hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group, substituted or unsubstituted $(C_1\text{-}C_4)$alkyl such as methyl, ethyl, n-propyl, isopropyl, n-butyl, iso-butyl, t-butyl and the like; hydroxy $(C_1\text{-}C_6)$ alkyl; alkoxyalkyl group such as methoxymethyl, methoxyethyl, ethoxyethyl, ethoxymethyl, methoxypropyl, propoxymethyl, propoxy ethyl and the like which may be substituted alkoxycarbonyl group such as methoxycarbonyl, ethoxycarbonyl and the like, which may be substituted; $(C_1\text{-}C_4)$alkoxy group such as methoxy, ethoxy, propoxy, butoxy and the like, which may be substituted; carboxyalkyl such as CH_2-COOH, CH_2-CH_2-COOH and the like, which may be substituted; alkylsulfonyl group such as methylsulfonyl, ethylsulfonyl and the like, which may be substituted; alkylcarbonylaminoalkyl groups such as methylcarbonylaminomethyl, ethylcarbonylaminomethyl, methylcarbonylaminoethyl and the like, which may be substituted; arylcarbonylaminoalkyl such as phenylcarbonylaminomethyl, phenylcarbonylaminoethyl and the like, which may be substituted; alkylcarbonyloxyalkyl group such as methylcarbonyloxymethyl, ethylcarbonylxoymethyl, methylcarbonyloxyethyl, propylcarbonyloxymethyl, propylcarbonyloxyethyl, propylcarbonyloxypropyl and the like, which may be substituted; amino$(C_1\text{-}C_6)$alkyl such as aminomethyl, aminoethyl, aminopropyl and the

like, which may be substituted; alkylamino such as methylamino, ethylamino, propylamino and the like, which may be substituted; arylamino such as phenylamino, benzylamino and the like, which may be substituted; aryl group such as phenyl, naphthyl and the like, which may be substituted; aryloxy group such as phenoxy, naphthyloxy and the like, the aryloxy group may be substituted; aralkyl such as benzyl, phenethyl, $C_6H_5CH_2CH_2CH_2$, naphthylmethyl and the like, the aralkyl group may be substituted; heteroaryl groups such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, tetrazolyl, benzopyranyl, benzofuranyl and the like, which may be substituted; heteroaralkyl such as imidazolemethyl, imidazoleethyl, pyridylmethyl, furyl methyl, oxazolemethyl, imidazolyl and the like; heterocyclyl group such as pyrrolidine, piperidine, morpholine, piperazine and the like; heterocycloalkyl groups such as pyrrolidinemethyl, piperidinemethyl, morpholinemethyl, piperazinemethyl and the like.

Suitable groups represented by Y^2, when n is 2 or 3 are selected from nitro, formyl, hydroxy, amino, =O, =S group; alkoxyalkyl group such as methoxymethyl, methoxyethyl, ethoxyethyl, ethoxymethyl, methoxypropyl, propoxymethyl, propoxy ethyl and the like which may be substituted alkoxycarbonyl group such as methoxycarbonyl, ethoxycarbonyl and the like, which may be substituted; $(C_1$-$C_4)$alkoxy group such as methoxy, ethoxy, propoxy, butoxy and the like, which may be substituted; carboxyalkyl such as CH_2-COOH, CH_2-CH_2-COOH and the like, which may be substituted; alkylsulfonyl group such as methylsulfonyl, ethylsulfonyl and the like, which may be substituted; alkylcarbonylaminoalkyl groups such as methylcarbonylaminomethyl, ethylcarbonylaminomethyl, methylcarbonylaminoethyl and the like, which may be substituted; arylcarbonylaminoalkyl such as phenylcarbonylaminomethyl, phenylcarbonylaminoethyl and the like, which may be substituted; alkylcarbonyloxyalkyl group such as methylcarbonyloxymethyl ethylcarbonylxoymethyl, methylcarbonyloxyethyl, propylcarbonyloxymethyl, propylcarbonyloxyethyl, propylcarbonyloxypropyl and the like, which may be substituted; amino$(C_1$-$C_6)$alkyl such as aminomethyl, aminoethyl, aminopropyl and the

like, which may be substituted; alkylamino such as methylamino, ethylamino, propylamino and the like, which may be substituted; arylamino such as phenylamino, benzylamino and the like, which may be substituted; aryl group such as phenyl, naphthyl and the like, which may be substituted; aryloxy group such as phenoxy, naphthyloxy and the like, the aryloxy group may be substituted; aralkyl such as benzyl, phenethyl, $C_6H_5CH_2CH_2CH_2$, naphthylmethyl and the like, the aralkyl group may be substituted; heteroaryl groups such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, tetrazolyl, benzopyranyl, benzofuranyl and the like, which may be substituted; heteroaralkyl such as imidazolemethyl, imidazoleethyl, pyridylmethyl, furyl methyl, oxazolemethyl, imidazolyl and the like; heterocyclyl such as pyrrolidine, piperidine, morpholine, piperazine and the like; heterocycloalkyl group such as pyrrolidinemethyl, piperidinemethyl, morpholinemethyl, piperazinemethyl and the like. When the groups represented by Y^2 are substituted, the substituents may be selected from hydroxy, nitro, cyano, amino, halogen atom such as fluorine, chlorine or bromine; alkyl group such as methyl, ethyl, isopropyl, n-propyl, n-butyl and the like; cycloalkyl group such as cyclopropyl and the like; aryl group such as phenyl; aralkyl group such as benzyl; (C_1-C_3)alkoxy, benzyloxy, acyl or acyloxy groups.

Suitable cyclic structure formed by any two of Y^1, Y^2 and Y^3 together with the carbon atoms to which they are attached may be selected from benzene, pyridine, pyrrolidine, furan, thiophene, morpholine, piperazine, pyrrole and the like;

Pharmaceutically acceptable salts forming part of this invention include salts derived from inorganic bases such as Li, Na, K, Ca, M, Fe, Cu, Zn, Mn; salts of organic bases such as N,N'-diacetylethylenediamine, betaine, caffeine, 2-diethylaminoethanol, 2-dimethylaminoethanol, N-ethylmorpholine, N-ethylpiperidine, glucamine, glucosamine, hydrabamine, isopropylamine, methylglucamine, morpholine, piperazine, piperidine, procaine, purines, theobromine, triethylamine, trimethylamine, tripropylamine, tromethamine, diethanolamine, meglumine, ethylenediamine, N,N'-

diphenylethylenediamine, N,N'-dibenzylethylenediamine, N-benzyl phenylethylamine, choline, choline hydroxide, dicyclohexylamine, benzylamine, phenylethylamine, dialkylamine, trialkylamine, thiamine, aminopyrimidine, aminopyridine, purine, spermidine, and the like; chiral bases like alkylphenylamine, glycinol, phenyl glycinol and the like, salts of natural amino acids such as glycine, alanine, valine, leucine, isoleucine, norleucine, tyrosine, cystine, cysteine, methionine, proline, hydroxy proline, histidine, ornithine, lysine, arginine, serine, threonine, phenylalanine; unnatural amino acids such as D-isomers or substituted amino acids; metformin, guanidine, substituted guanidine wherein the substituents are selected from nitro, amino, alkyl, alkenyl, alkynyl, ammonium or substituted ammonium salts and aluminum salts. Salts may include acid addition salts where appropriate which are, sulphates, nitrates, phosphates, perchlorates, borates, hydrohalides, acetates, tartrates, maleates, citrates, succinates, palmoates, methanesulphonates, benzoates, salicylates, hydroxynaphthoates, benzenesulfonates, ascorbates, glycerophosphates, ketoglutarates and the like. Pharmaceutically acceptable solvates may be hydrates or comprising other solvents of crystallization such as alcohols.

Particularly useful compounds according to this invention include:

(RS)–N–{3-[3-Fluoro-4-(2-oxo-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-oxo-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-oxo-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–N–{3-[4-(3-Benzyl-4-oxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[4-(3-Benzyl-4-oxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[4-(3-Benzyl-4-oxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[4-(3-Benzyl-2-oxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl}acetamide ;

(R)–N–{3-[4-(3-Benzyl-2-oxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl}acetamide;

(S)–N–{3-[4-(3-Benzyl-2-oxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–N–{3-[3-Fluoro-4-(2-oxo-1,3-oxazinan-3-yl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-oxo-1,3-oxazinan-3-yl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-oxo-1,3-oxazinan-3-yl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluro-4-(3-methyl-4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(3-methyl-4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(S)–N–{3-[3-Fluoro-4-(3-methyl-4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(2-thioxo-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethy}acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-thioxo-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-thioxo-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(3-methylsulfonyl-2-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(3-methylsulfonyl-2-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(3-methylsulfonyl-2-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[4-(5-Methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[4-(5-Methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[4-(5-Methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide

(RS)–N–{3-[4-(3-Benzyl-4-thioxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(R)–N–{3-[4-(3-Benzyl-4-thioxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(S)–N–{3-[4-(3-Benzyl-4-thioxo-1-imidazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–N–{3-[3-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(5-methyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinyl methyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(5-methyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinyl methyl}acetamide;

(RS)–N–{3-[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(R)–N–{3-[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(4-oxo-3-(2-pyridyl)-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(4-oxo-3-(2-pyridyl)-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(4-oxo-3-(2-pyridyl)-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(3-(4-methoxybenzyl)-4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(3-(4-methoxybenzyl)-4-oxo-1-imidazolidinyl]phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(3-(4-methoxybenzyl)-4-oxo-1-imidazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[4-(3-Ethyl-4-oxo-1-imidazolidinyl)-3-Fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;)

(R)–N–{3-[4-(3-Ethyl-4-oxo-1-imidazolidinyl)-3-Fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[4-(3-Ethyl-4-oxo-1-imidazolidinyl)-3-Fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–5-Hydroxymethyl-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-oxazolidin-2-one.

(R)–5-Hydroxy methyl-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-oxazolidin-2-one.

(S)–5-Hydroxy methyl-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-oxazolidine-2-one.

(RS)–5-Azidomethyl-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-oxazolidine-2-one.

(R)–5-Azidomethyl-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-oxazolidine-2-one

(S)–5-Azidomethyl-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-oxazolidine-2-one

(RS)–N–{2-oxo-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(R)–N–{2-oxo-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(S)–N–{2-oxo-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–{2-oxo-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-5-oxazolidinylmethyl}methanesulfonate ;

(R)–{2-oxo-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-5-oxazolidinylmethyl}methanesulfonate;

(S)–{2-oxo-3-[4-(2-oxo-3-oxazolidinyl)phenyl]-5-oxazolidinylmethyl} methanesulfonate;

(RS)–3-[2-Fluoro-4-(5-hydroxymethyl-2-oxo-3-oxazolidinyl)phenyl]-2,3-dihydrobenzo-[d]oxazolidin-2-one;

(R)–3-[2-Fluoro-4-(5-hydroxymethyl-2-oxo-3-oxazolidinyl)phenyl]-2,3-dihydrobenzo-[d]oxazolidin-2-one;

(S)–3-[2-Fluoro-4-[5-hydroxymethyl-2-oxo-3-oxazolidinyl]phenyl]-2,3-dihydrobenzo-[d] oxazolidin-2-one;

(RS)–N–{3-[3-Fluoro-4-(2-oxo-2,3-dihydrobenzo-[d]oxazolidin-3-yl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-oxo-2,3-dihydrobenzo-[d]oxazolidin-3-yl)phenyl]-2-oxo-5-oxazolidinyl methyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-oxo-2,3-dihydrobenzo-[d]oxazolidin-3-yl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(2-thioxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-thioxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-thioxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(2-thioxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl}-2-oxazolidinone;

(R)–N–{3-[3-Fluoro-4-(2-thioxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl}-2-oxazolidinone;

(S)–N–{3-[3-Fluoro-4-(2-thioxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl}-2-oxazolidinone;

(RS)–N–{3-[3-Fluoro-4-(2-thioxo-3-thiazolidinyl)phenyl]-5-hydroxymethyl}-2-oxazolidinone;

(R)–N–{3-[3-Fluoro-4-(2-thioxo-3-thiazolidinyl)phenyl]-5-hydroxymethyl}-2-oxazolidinone;

(S)–N–{3-[3-Fluoro-4-(2-thioxo-3-thiazolidinyl)phenyl]-5-hydroxymethyl}-2-oxazolidinone;

(RS)–N–{3-[3-Fluoro-4-(2-thioxo-3-thiazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(R)–N–{3-[3-Fluoro-4-(2-thioxo-3-thiazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(2-thioxo-3-thiazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[3-Fluoro-4-(4-methoxymethoxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(4-methoxymethoxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(S)–N–{3-[3-Fluoro-4-(4-methoxymethoxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–3-[4-(5-Aminomethyl-2-oxo-3-oxazolidinyl)-2-fluorophenyl]-4-hydroxymethyl-3 oxazolidin-2-one;

(R)–3-[4-(5-Aminomethyl-2-oxo-3-oxazolidinyl)-2-flurophenyl]-4-hydroxymethyl-3-oxazolidin-2-one;

(S)–3-[4-(5-Aminomethyl-2-oxo-3-oxazolidinyl)-2-fluorophenyl]-4-hydroxymethyl-3-oxazolidin-2-one;

(RS)–{3-[4-(4-Benzyloxymethyl-2-oxo-3-oxazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}butyrate;

(R)–{3-[4-(4-Benzyloxymethyl-2-oxo-3-oxazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}butyrate;

(S)–{3-{4-(4-Benzyloxymethyl-2-oxo-3-oxazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}butyrate;

(RS)–N–{3-[4-(4-Benzyloxymethyl-2-oxo-3-oxazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[4-(4-Benzyloxymethyl-2-oxo-3-oxazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[4-(4-benzyloxymethyl-2-oxo-3-oxazolidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–N–{3-[3-Fluoro-4-(4-hydroxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[3-Fluoro-4-(4-hydroxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[3-Fluoro-4-(4-hydroxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl}acetamide ;

(RS)–N–{3-[2-Fluoro-5-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl}acetamide ;

(R)–N–{3-[2-Fluoro-5-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl}acetamide ;

(S)–N–{3-[2-Fluoro-5-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl}acetamide ;

(RS)–[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl-2-chloroacetate ;

(R)–[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl-2-chloroacetate ;

(S)–[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl-2-chloroacetate ;

(RS)–3-[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl-2,2-difluoroacetate ;

(R)–3-[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl-2,2-difluoroacetate ;

(S)–3-[2-Fluoro-4-(5-methylcarboxamidomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-4-oxazolidinylmethyl-2,2-difluoroacetate ;

(RS)–N-{3-[3-Fluoro-4-(4-morpholinomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N-{3-[3-Fluoro-4-(4-morpholinomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N-{3-[3-Fluoro-4-(4-morpholinomethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N-{3-[3-Fluoro-4-(6-methyl-2-oxo-2,3-dihydrobenzo[d]oxazolidin-3-ylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N-{3-[3-Fluoro-4-(6-methyl-2-oxo-2,3-dihydrobenzo[d]oxazolidin-3-ylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N-{3-[3-Fluoro-4-(6-methyl-2-oxo-2,3-dihydrobenzo[d]oxazolidin-3-ylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N-{3-[3-Fluoro-4-(5-methyl-2-oxo-2,3-dihydrobenzo[d]oxazolidin-3-ylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(R)–N-{3-[3-Fluoro-4-(6-methyl-2-oxo-2,3-dihydrobenzo[d] oxazolidin-3-yl phenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(S)–N-{3-[3-Fluoro-4-(6-methyl-2-oxo-2,3-dihydrobenzo[d]oxazolidin-3-ylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS-3-[2-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl-ozazolidin-2-one ;

(R-3-[2-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl-ozazolidin-2-one ;

(S-3-[2-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl-ozazolidin-2-one ;

(RS)–N-{3-[3-Fluoro-4-(5-hydroxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(R)–N-3-{3-Fluoro-4-(5-hydroxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(S)–N-{3-[3-Fluoro-4-(5-hydroxymethyl-2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide ;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(RS)–N-{3-[3,5-Difluoro-4-(2-oxo-3-oxazolidinylphenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(R)–N-{3-[3,5-Difluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(S)–N-{3-[3,5-Difluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(RS)-3-[3,5-Difluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl-1,3-oxazolidine-2-one;

(R)-3-[3,5-Difluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl-1,3-oxazolidine-2-one;

(S)-3-[3,5-Difluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-hydroxymethyl-1,3-oxazolidine-2-one;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} butanamide ;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} butanamide ;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} butanamide ;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} hexanamide ;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} hexanamide ;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl] hexanamide ;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide ;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} acetamide;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} heptanamide;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} heptanamide;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl} heptanamide;

(RS)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(R)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(S)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}acetamide;

(RS)–3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-(1-thioxoethylaminomethyl)-2-oxazolidinone;

(R)–3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-(1-thioxoethylaminomethyl)-2-oxazolidinone;

(S)–3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-5-(1-thioxoethylaminomethyl)-2-oxazolidinone;

(RS)–N-{3-[4-(2-Oxo-3-oxazolidinyl-3-trifluoromethyl)phenyl]-2-oxo-5-oxazolidinylmethyl}propanamide;

(R)–N-{3-[4-(2-Oxo-3-oxazolidinyl-3-trifluoromethyl)phenyl]-2-oxo-5-oxazolidinylmethyl}propanamide;

(S)–N-{3-[4-(2-Oxo-3-oxazolidinyl-3-trifluoromethyl)phenyl]-2-oxo-5-oxazolidinylmethyl}propanamide;

(RS)–5-Aminomethyl-3-[4-(2-oxo-3-oxazolidinyl-3-trifluoromethyl)phenyl]-2-oxazolidinone.hydrochloride;

(R)–5-Aminomethyl-3-[4-(2-oxo-3-oxazolidinyl-3-trifluoromethyl)phenyl]-2-oxazolidinone.hydrochloride;

(S)–5-Aminomethyl-3-[4-(2-oxo-3-oxazolidinyl-3-trifluoromethylphenyl]-2-oxazolidinone.hydrochloride;

(RS)–N{3-[4-(2-oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}heptanamide;

(R)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}heptanamide;

(S)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}heptanamide;

(RS)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethyl)phenyl]-2-oxo-5-oxazolidinylmethyl}acrylamide;

(R)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}acrylamide;

(S)–N-{3-[4-(2-Oxo-3-oxazolidinyl)-3-trifluoromethylphenyl]-2-oxo-5-oxazolidinylmethyl}acrylamide;

(RS)–3-[4-(2-Oxo-3-oxazolidinyl)phenyl]-5-(1-thioxoethylaminomethyl)-2-oxazolidinone;

(R)–3-[4-(2-Oxo-3-oxazolidinyl)phenyl]-5-(1-thioxoethylaminomethyl)-2-oxazolidinone;

(S)–3-[4-(2-Oxo-3-oxazolidinyl)phenyl]-5-(1-thioxoethylaminomethyl)-2-oxazolidinone;

(RS)–5-Aminomethyl-3-[3-fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxazolidinone;

(R)–5-Aminomethyl-3-[3-fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxazolidinone;

(S)–5-Aminomethyl-3-[3-fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxazolidinone;

(RS)–5-Aminomethyl-3-[3-fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxazolidinone hydrochloride;

(R)–5-Aminomethyl-3-[3-fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxazolidinone hydrochloride.;

(S)–5-Aminomethyl-3-[3-fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxazolidinone hydrochloride;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]–2-hydroxyacetamide;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]–2-hydroxyacetamide;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]–2-hydroxyacetamide;

(RS)–3-[2-Fluoro-4-(2-oxo-5-(1-thioxoethylaminomethyl)-3-oxazolidinyl)phenyl]-2,3-dihydrobenzo[d]-2-oxazolidinone;

(R)–3-[2-Fluoro-4-(2-oxo-5-(1-thioxoethylaminomethyl)-3-oxazolidinyl)phenyl]-2,3-dihydrobenzo[d]-2-oxazolidinone;

(S)–3-[2-Fluoro-4-(2-oxo-5-(1-thioxoethylaminomethyl)-3-oxazolidinyl)phenyl]-2,3-dihydrobenzo[d]-2-oxazolidinone;

(RS)–3-[2-Fluoro-4-(2-oxo-5-(1-thioxoethylaminomethyl)-3-oxazolidinyl)phenyl]-2,3-dihydrobenzo[d]-2-oxazolidinone;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}-2,2,2-trifluoroacetamide;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}-2,2,2-trifluoroacetamide;

(S)–N-[3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]-2,2,2-trifluoroacetamide;

(RS)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}-methanamide;

(R)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}-methanamide;

(S)–N-{3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl}methanamide;

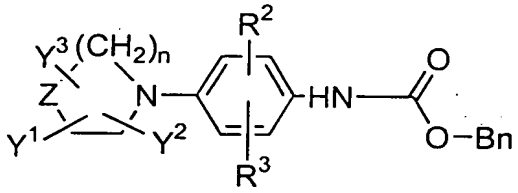
(RS)–Ethyl-3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethylcarbamoyl methanoate;

(R)–Ethyl-3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethylcarbamoyl methanoate;

(S)–Ethyl-3-[3-Fluoro-4-(2-oxo-3-oxazolidinyl)phenyl]-2-oxo-5-oxazolidinylmethylcarbamoyl methanoate;

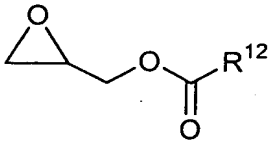
The present invention also relates to a process for the preparation of the compound of formula (I) where all the symbols are as defined earlier which comprises :

(i) reacting the compound of formula (III)



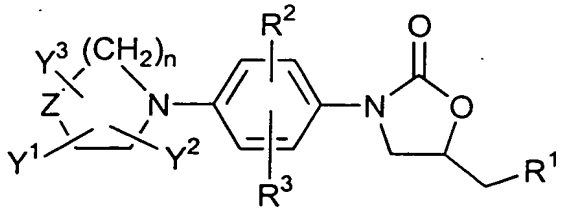
(III)

where Bn represents benzyl and all other symbols are as defined above with a compound of formula (IV)



(IV)

where R^{12} represents a (C_1-C_3)alkyl group such as methyl, ethyl or propyl in the presence of a base to produce a compound of formula (I)



(I)

where R^1 represents hydroxy and all symbols are as defined earlier,

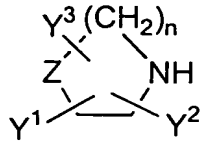
(ii) if, desired, converting the compound of formula (I) where R^1 represents hydroxy group to a compound of formula (I) where R^1 represents NHR^4 or $N(R^4)_2$, by conventional methods.

The reaction of a compound of formula (III) with a compound of formula (IV) to produce a compound of formula (I) defined above may be carried out in the presence of a base such as alkali metal hydrides like NaH, or KH or organolithiums like CH_3Li, BuLi, LDA and the like or alkoxides such as NaOMe, NaOEt, t-BuOK or mixtures thereof. The reaction may be carried out in the presence of solvents such as THF, dioxane, DMF, DMSO, DME and the like or mixtures thereof. HMPA may be used as cosolvent. The reaction temperature may range from -78 °C to 150 °C, preferably at a temperature in the range of -10 °C to 30 °C.

The conversion of a compound of formula (I) where R^1 represents hydroxy to a compound of formula (I) defined above may be carried out in the presence of an amine or amide of formula NH_2R^4 or $NH(R^4)_2$ under Mitsunobu conditions using tri-n-butylphosphine, 1,1'-(azodicarbonyl)dipiperidine (ADDP) or diethyl azadicarboxylate (DEAD) in an organic solvent such as THF, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of 0 °C to 60 °C, preferably at ambient temperature. The reaction time may range from 0.5 h to 48 h, preferably from 1 to 24 h.

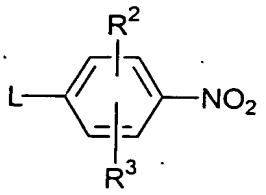
In still another embodiment of the present invention there is provided another process for the preparation compound of formula (I) defined above, which comprises :

(i) reacting a compound of formula (V)



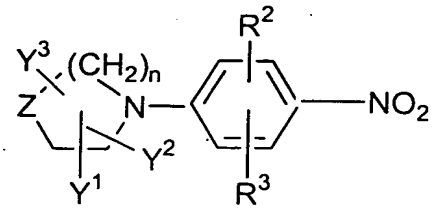
(V)

where all symbols are as defined above with a compound of formula (VI)



(VI)

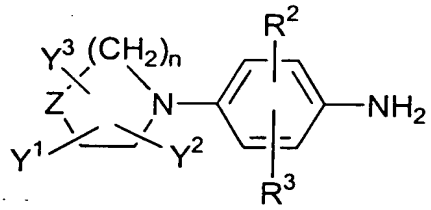
where L represents halogen atom and all other symbols are as defined above to produce a compound of formula (VII)



(VII)

where all symbols are as defined above,

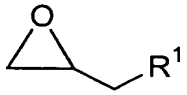
(ii) reducing the compound of formula (VII) to produce a compound of formula (VIII)



(VIII)

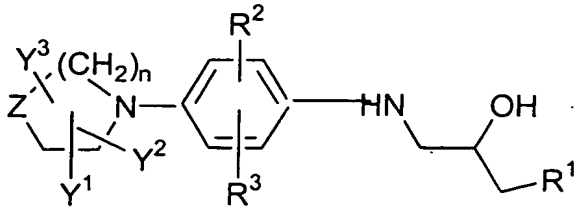
where all symbols are as defined above,

(iii) reacting the compound of formula (VIII) with a compound of formula (IX)



(IX)

where R^1 is as defined earlier to produce a compound of formula (X)



(X)

where all symbols are as defined above, and

(iv) carbonylating the compound of formula (X) with a suitable carbonylating agent to produce the compound of formula (I) where all symbols are as defined above.

The reaction of a compound of formula (V) with a compound of formula (VI) to produce a compound of formula (VII) may be carried out using a base such as KOH, NaOH, K_2CO_3, Na_2CO_3, triethylamine, diisopropylethyl amine and the like. The reaction may be carried out using a solvent such as DMSO, DMF, acetonitrile, chloroform and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using inert gases such as N_2, Ar or He. The reaction may be carried out at a temperature in the range of 40 °C - 100 °C, preferably at a temperature in the range of 40 °C - 80 °C. The reaction time may range from 4 to 15 h, preferably from 6 to 12 h.

The reduction of a compound of formula (VII) to produce a compound of formula (VIII) may be carried out in the presence of gaseous hydrogen and a catalyst such as Pd/C, Rh/C, Pt/C and the like. Mixtures of catalyst may be used. The reduction may be conducted in the presence of solvents such as dioxane, acetic acid, ethyl acetate, alcohol such as methanol, ethanol and the like. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature from 25 °C to 60 °C, preferably at room temperature. The reaction time ranges from 6 to 12 h. The reduction may also be carried out employing metal in mineral acids such tin in HCl, iron in HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

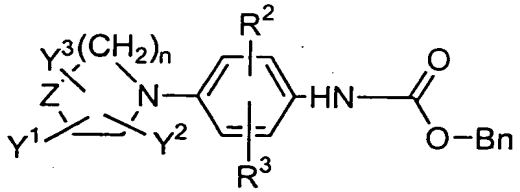
The reaction of a compound of formula (VIII) defined above with a compound of formula (IX) defined above to produce a compound of formula (X) may be carried out in the presence or absence of a base such as K_2CO_3, NaH, t-BuOK and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, CH_3CN, alcohol like methanol, ethanol or isopropanol and the like or mixtures thereof. The reaction may also be carried out in the presence of Lewis acids such as $BF_3.OEt_2$, $ZnCl_2$, $Ti(OiPr)_4$, lanthanide metal complexes and the like in the presence of DCE, DMF, THF and the like or mixtures thereof. The reaction temperature may be in the

range of 30 °C to 120 °C , preferably at a temperature in the range of 30 °C to 100 °C. The reaction time may range from 3 to 24 h, preferably from 4 to 12 h.

The conversion of compound of formula (X) to a compound of formula (I) may be carried out using a carbonylating agent such as dialkyl carbonate, dihalo carbonate, 1,1'-carbonyldiimidazole and the like in the presence of a base. The base may be selected from triethylamine, tributylamine, diisopropylethylamine, DABCO, DBU, DBN, alkoxides like NaOMe, NaOEt and the like or the inorganic bases such as NaOH, KOH and the like. The reaction may be carried out in the presence of solvents such as dichloromethane, THF, DMF, ethyl acetate, isopropanol and the like or mixtures thereof. The reaction temperature may be in the range of -20 °C to 50 °C, preferably at a temperature in the range of 15 °C to 30 °C. The reaction time may range from 2 to 72 hours, preferably from 2 to 50 hours.

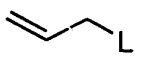
In still another embodiment of the present invention there is provided yet another process for the preparation of compound of the formula (I) defined above which comprises ;

(i) reacting a compound of formula (III)



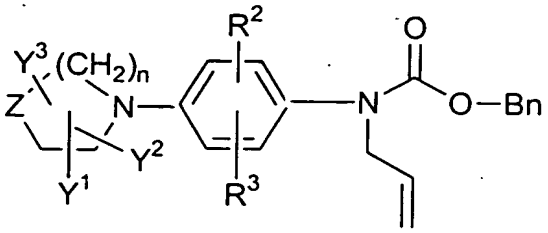
(III)

where Bn represents benzyl and all other symbols are as defined earlier with a compound of formula (XI)



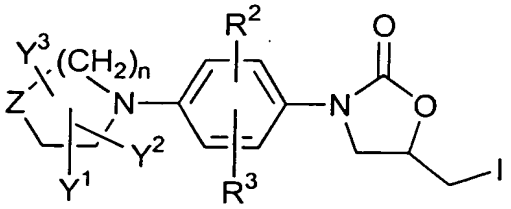
(XI)

where L is a leaving group to produce a compound of formula (XII) where all symbols are as defined earlier



(XII)

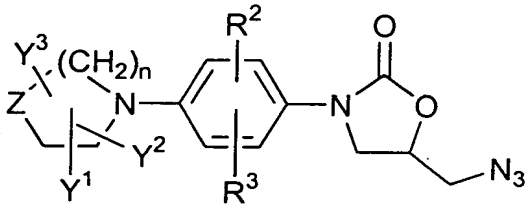
(ii) converting the compound of formula (XII) defined above to a compound of formula (XIII)



(XIII)

where all symbols are as defined earlier,

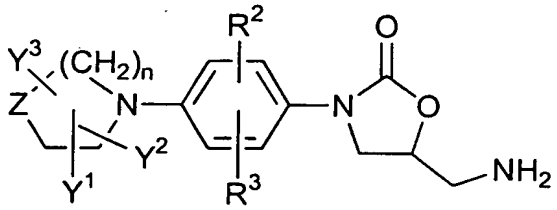
(iii) converting the compound of formula (XIII) defined above to a compound of formula (XIV)



(XIV)

where all symbols are as defined earlier, by reacting with organic or inorganic azide

(iv) reducing the compound of formula (XIV) to a compound of formula (XV)



(XV)

where all symbols are as defined earlier, which represents compound of formula (I) where R^4 represents hydrogen atom and

(v) if desired, converting the compound of formula (XV) to a compound of formula (I) where R^4 represents acyl or thioacyl group.

The reaction of a compound of formula (III) with a compound of formula (XI) may be carried out in the presence of base such as NaH, KH, K_2CO_3, Na_2CO_3, potassium iodide or a phase transfer catalyst such as tetrabutylammonium halide and the like. The reaction may be carried out in the presence of a suitable solvent such as chloroform, THF, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of 30-120 °C, preferably at 60 °C. The reaction time may range from 2 to 20 h, preferably from 4 to 6 h.

The conversion of a compound of formula (XII) to a compound of formula (XIII) defined above may be carried in the presence of a base such as I_2, KI, or NaI. The reaction may be carried out in the presence of solvents such as $CHCl_3$, CH_2Cl_2, THF, DMF, DMSO and the like or mixtures thereof. The reaction temperature may be in the range of 0 °C to 100 °C, preferably at a temperature of 60 °C. The reaction time may range from 2 to 24 hours, preferably from 2 to 12 hours.

The conversion of a compound of formula (XIII) to a compound of formula (XIV) may be carried out in the presence of more than one equivalent of alkali metal azide such as LiN_3, NaN_3 or trialkyl silylazide. The reaction may be carried out in the presence of solvent such as THF, acetone, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using N_2, Ar, He and the like. The reaction may be carried out at a temperature in the range of ambient temperature to reflux temperature of the solvent, preferably at a temperature in the range of 50 °C to 80 °C. The reaction time may range from 0.5 h to 18 h, preferably 1 h to 4 h.

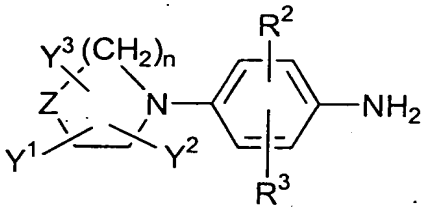
The reduction of a compound of formula (XIV) to a compound of formula (XV) may be carried out in the presence of gaseous hydrogen and a catalyst such as Pd/C, Rh/C, Pt/C, and the like. Mixtures of catalysts may be used. The reaction may also be conducted in the presence of solvents such as dioxane, acetic acid, ethyl acetate, alcohol such as methanol, ethanol or isopropanol and the like. A pressure between atmospheric pressure and 60 psi may be employed. The catalyst may be preferably 5 - 10 % Pd/C and the amount of catalyst used may range from 5 - 50 % w/w. The reaction may also

be carried out by employing metal solvent reduction such as magnesium in alcohol or sodium amalgam in alcohol, preferably methanol.

The conversion of a compound of formula (XV) defined above to a compound of formula (I) defined above may be carried out using appropriate acyl halides, thioacylhalides, anhydrides, thio acylhalides or thioanhydrides in the presence of a base.

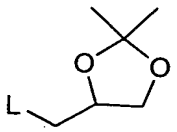
In yet another embodiment of the present invention, there is provided a process for the preparation of compound of formula (I) defined above, which comprises :

(i) reacting a compound of formula (VIII)



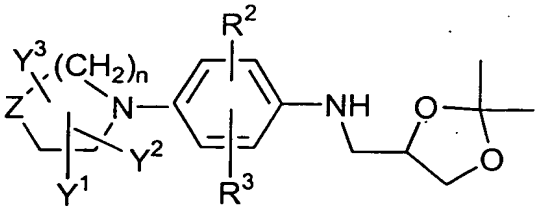
(VIII)

where all the symbols are as defined above with a compound of formula (XVI)



(XVI)

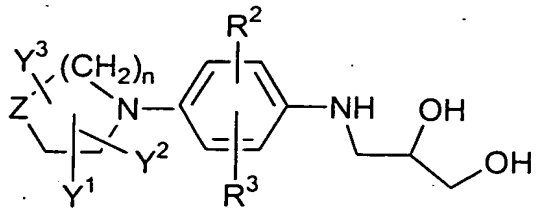
where L is a leaving group to produce a compound of formula (XVII)



(XVII)

where all symbols are as defined earlier,

(ii) hydrolysing the acetonide moiety in the compound of formula (XVII) using conventional methods to produce a compound of formula (XVIII)



(XVIII)

where all symbols are as defined above,

(iii) carbonylating the compound of formula (XVIII) defined above with a suitable carbonylating agent to produce the compound of formula (I) where R^1 represents hydroxy group and all other symbols are as defined above and

(iv) if, desired, converting the compound of formula (I) where R^1 represents hydroxy group to a compound of formula (I) where R^1 represents NHR^4 or $N(R^4)_2$, by conventional methods.

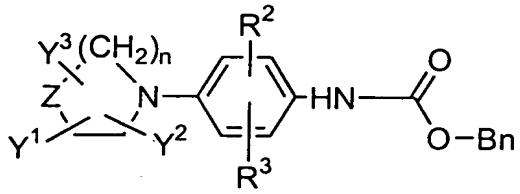
The reaction of a compound of formula (VIII) with a compound of formula (XVI) to produce a compound of formula (XVII) may be carried out in the presence of phase transfer catalyst such as tetrabutylammonium halide in the presence or absence of a base. The base employed may be selected from K_2CO_3, NaH, t-BuOK and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, DMSO, EtOH and the like or mixtures thereof. The reaction may be carried at a temperature in the range of 0 °C to 120 °C, preferably at a temperature in the range of 0 °C to 100 °C. The reaction time may range from 2 to 24 h, preferably from 2 to 20 h.

The hydrolysis of a compound of formula (XVII) to produce a compound of formula (XVIII) may be carried out using dilute mineral acid such as HCl, H_2SO_4 and the like, organic acids such as aqueous acetic acid, p-toluene sulfonic acid, trifluoro acetic acid and the like. The reaction may be carried out in the presence of suitable solvent such as water, methanol, THF, dioxane and the like or mixtures thereof. The reaction may be carried at a temperature in the range of 30 °C to 100 °C, preferably at a temperature in the range of 30 °C to 60 °C. The reaction time may range from 10 min to 5 h, preferably from 30 min to 2.5 h.

The conversion of a compound of formula (XVIII) to a compound of formula (I) where R^1 represents hydroxy group may be carried out using a carbonylting agent such as dialkyl carbonate, dihalo carbonate, 1,1'-carbonyldiimidazole and the like in the presence of a base. The base may be selected from triethylamine, tributylamine, diisopropylethylamine, DABCO, DBU, DBN or the inorganic bases such as NaOH, KOH, NaOEt, NaOMe and the like. The reaction may be carried out in the presence of solvents such as dichloromethane, THF, DMF, ethyl acetate, isopropanol and the like or mixtures thereof. The reaction temperature may be in the range of -20 °C to 50 °C, preferably at a temperature in the range of 15 °C to 30 °C. The reaction time may range from 2 to 72 hours, preferably from 2 to 50 hours.

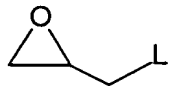
In still another embodiment of the present invention there is provided a process for the preparation of compounds of formula (I) as defined above, which comprises:

(i) reacting a compound of formula (III)



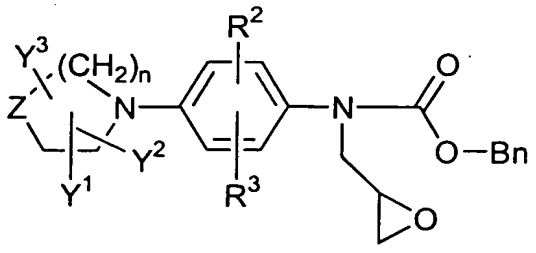
(III)

where Bn represents benzyl and all other symbols are as defined earlier with a compound of formula (XIX)



(XIX)

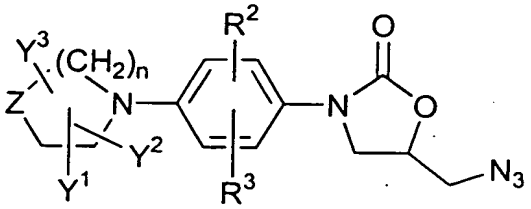
where L is a leaving group to produce a compound of formula (XX)



(XX)

where Bn represents benzyl and all other symbols are as defined earlier,

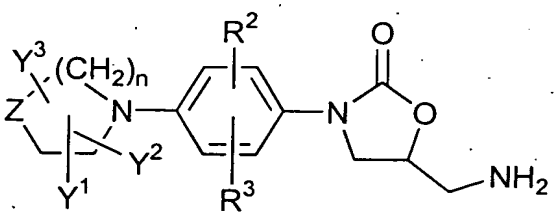
(ii) converting the compound of formula (XX) defined above to a compound of formula (XIV)



(XIV)

where all symbols are as defined above, by reacting with an organic or an inorganic azide,

(iii) reducing the compound of formula (XIV) to a compound of formula of (XV)



(XV)

where all symbols are as defined earlier, and

(iv) if desired, converting the compound of formula (XV) to a compound of formula (III) where R^4 represents acyl or thioacyl group.

The reaction of a compound of formula (III) defined above with a compound of formula (XIX) defined above may be carried out in the presence of a base such as NaH, Na_2CO_3, K_2CO_3, n-BuLi and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, DMSO and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of 0 °C to 70 °C preferably at a temperature in the range of 0 °C to 50 °C. The reaction time may range from 1 h to 15 h preferably 1 h to 10 h.

The conversion of a compound of formula (XX) to a compound of formula (XIV) may be carried out in the presence of more than one equivalent of alkali metal azide such as LiN_3, NaN_3 or trialkyl silylazide. The reaction may be carried out in the presence of solvent such as THF, acetone, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained

using N_2, Ar, He and the like. The reaction may be carried out at a temperature in the range of ambient temperature to reflux temperature of the solvent, preferably at a temperature in the range of 50 °C to 80 °C. The reaction time may range from 0.5 h to 18 h, preferably 1 h to 4 h.

The reduction of a compound of formula (XIV) to a compound of formula (XV) may be carried out in the presence of gaseous hydrogen and a catalyst such as Pd/C, Rh/C, Pt/C, and the like. Mixtures of catalysts may be used. The reaction may also be conducted in the presence of solvents such as dioxane, acetic acid, ethyl acetate, alcohol such as methanol, ethanol or isopropanol and the like. A pressure between atmospheric pressure and 60 psi may be employed. The catalyst may be preferably 5 - 10 % Pd/C and the amount of catalyst used may range from 5 - 50 % w/w. The reaction may also be carried out by employing metal solvent reduction such as magnesium in alcohol or sodium amalgam in alcohol, preferably methanol.

The conversion of a compound of formula (XV) defined above to a compound of formula (I) defined above may be carried out using appropriate acyl halides, thioacylhalides, anhydrides, thio acylhalides or thioanhydrides in the presence of a base.

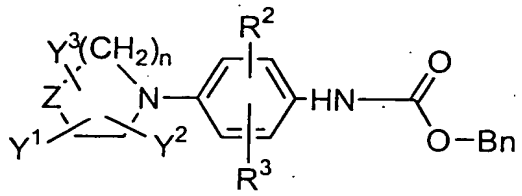
Alternatively, the compound of formula (I) where R^4 represents acyl or thioacyl group may be prepared by reacting a compound of formula (XIV) with suitable reducing and acetylating agents.

The compound of formula (XIV) defined above may be converted to a compound of formula (I) defined above in the presence of trivalent phosphorous compound such as triphenyl phosphine and acylating agent such as acid chloride like CH_3COCl, CH_3CH_2COCl, CH_3CSCl, CH_3CH_2CSCl and the like, or anhydrides such as acetic anhydride and the like in the presence of water in a suitable solvent such as THF, DMF and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of –10 °C to 125 °C, preferably in the range of 0 °C to 25 °C. The reaction time may range from 1 h to 24 h, preferably from 2 h to 6 h.

Alternatively, the compound of formula (XIV) may be converted to compound of formula (I) directly using thioacetic acid.

The compound of formula (XIV) defined above may be converted to a compound of formula (I) defined above using thioacetic acid without using any solvent. The reaction may be carried out at a temperature in the range of 25 °C to 40 °C, preferably at room temperature. The reaction may range from 3 h to 12 h, preferably from 4 h to 6 h.

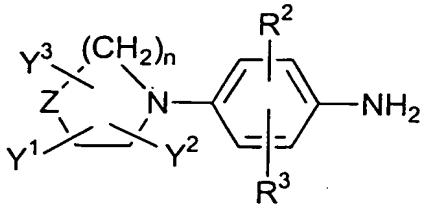
In still another embodiment of the present invention there is provided a novel intermediate of the formula (III)



(III)

wherein Bn represents benzyl, R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, $(C_1$-$C_6)$alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from $(C_1$-$C_4)$alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, $(C_1$-$C_4)$alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, $(C_1$-$C_4)$alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

In still another embodiment of the present invention there is provided a novel intermediate of formula (VIII)

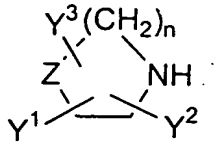


(VIII)

wherein R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, (C_1-C_6)alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_4)alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

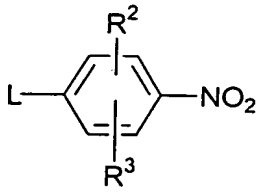
The novel intermediate of formula (VIII) may be prepared by a process, which comprises:

(i) reacting a compound of formula (V)



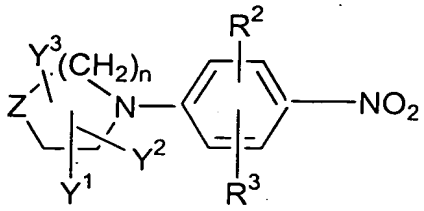
(V)

where all symbols are as defined above with a compound of formula (VI)



(VI)

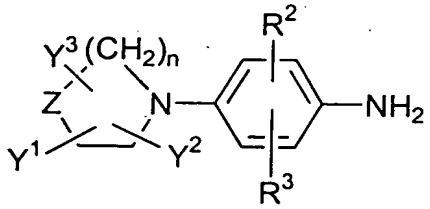
where L represents halogen atom and all other symbols are as defined above to produce a compound of formula (VII)



(VII)

where all symbols are as defined above and

(ii) reducing the compound of formula (VII) to produce a compound of formula (VIII)



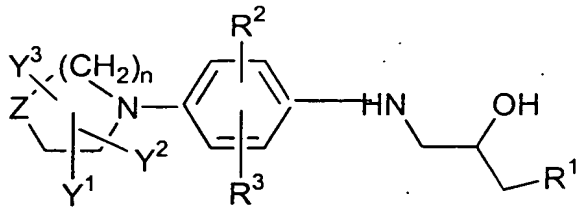
(VIII)

The reaction of a compound of formula (V) with a compound of formula (VI) to produce a compound of formula (VII) may be carried out using a base such as KOH, NaOH, K_2CO_3, Na_2CO_3, triethylamine, diisopropylethyl amine and the like. The reaction may be carried out using a solvent such as DMSO, DMF, acetonitrile, chloroform and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using inert gases such as N_2, Ar or He. The reaction may be carried out at a temperature in the range of 40 °C - 100 °C, preferably at a temperature in the

range of 40 °C - 80 °C. The reaction time may range from 4 to 15 h, preferably from 6 to 12 h.

The reduction of a compound of formula (VII) to produce a compound of formula (VIII) may be carried out in the presence of gaseous hydrogen and a catalyst such as Pd/C, Rh/C, Pt/C and the like. Mixtures of catalyst may be used. The reduction may be conducted in the presence of solvents such as dioxane, acetic acid, ethyl acetate, alcohol such as methanol, ethanol and the like. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature from 25 °C to 60 °C, preferably at room temperature. The reaction time ranges from 6 to 12 h. The reduction may also be carried out employing metal in mineral acids such as tin in HCl, iron in HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

In yet another embodiment of the present invention there is provided a novel intermediate of formula (X)



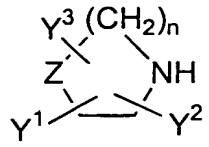
(X)

wherein R^1 represents halo, azido, thioalcohol, OR^4, NHR^4 or $N(R^4)_2$, where R^4 represents hydrogen atom, or substituted or unsubstituted groups selected from acyl, thioacyl, alkoxycarbonyl, aryloxycarbonyl, alkoxythiocarbonyl, alkyloxythiocarbonyl, -C(=O)-C(=O)-alkyl, -C(=O)-C(=O)-aryl, -C(=O)-C(=O)-alkoxy, -C(=O)-C(=O)-aryloxy, -C(=S)-C(=S)-alkyl, -C(=S)-C(=S)-aryl, -C(=S)-C(=S)-alkoxy, -C(=S)-C(=S)-aryloxy, or $S(O)_2(C_1\text{-}C_6)$alkyl; R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, $(C_1\text{-}C_6)$alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from $(C_1\text{-}C_4)$alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl,

alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

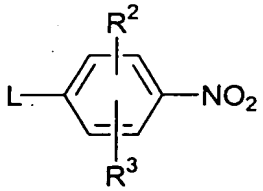
The novel intermediate of formula (X) may be prepared by a process, which comprises:

(i) reacting a compound of formula (V)



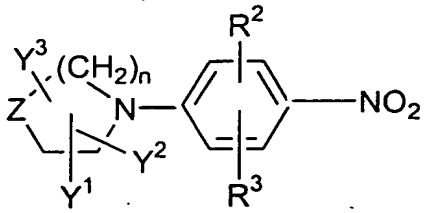
(V)

where all symbols are as defined above with a compound of formula (VI)



(VI)

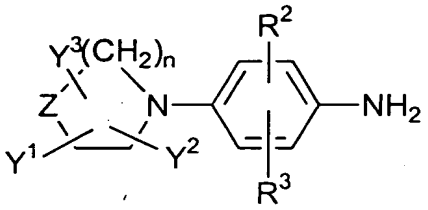
where L represents halogen atom and all other symbols are as defined above to produce a compound of formula (VII)



(VII)

where all symbols are as defined above,

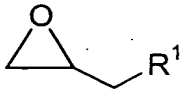
(ii) reducing the compound of formula (VII) to produce a compound of formula (VIII)



(VIII)

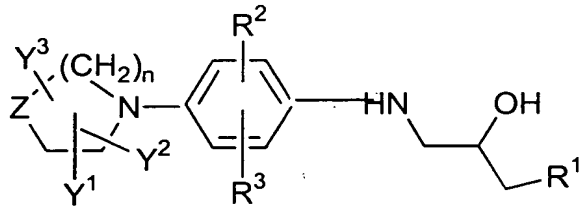
where all symbols are as defined above and

(iii) reacting the compound of formula (VIII) with a compound of formula (IX)



(IX)

where R^1 is as defined earlier to produce a compound of formula (X)



(X)

where all symbols are as defined above.

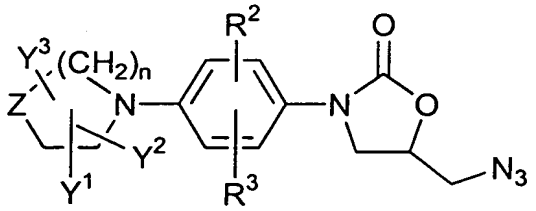
The reaction of a compound of formula (V) with a compound of formula (VI) to produce a compound of formula (VII) may be carried out using a base such as KOH, NaOH, K_2CO_3, Na_2CO_3, triethylamine, diisopropylethyl amine and the like. The reaction may be carried out using a solvent such as DMSO, DMF, acetonitrile, chloroform and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using inert gases such as N_2, Ar or He. The reaction may be carried out at a temperature in the range of 40 °C - 100 °C, preferably at a temperature in the range of 40 °C - 80 °C. The reaction time may range from 4 to 15 h, preferably from 6 to 12 h.

The reduction of a compound of formula (VII) to produce a compound of formula (VIII) may be carried out in the presence of gaseous hydrogen and a catalyst

such as Pd/C, Rh/C, Pt/C and the like. Mixtures of catalyst may be used. The reduction may be conducted in the presence of solvents such as dioxane, acetic acid, ethyl acetate, alcohol such as methanol, ethanol and the like. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature from 25 °C to 60 °C, preferably at room temperature. The reaction time ranges from 6 to 12 h. The reduction may also be carried out employing metal in mineral acids such tin in HCl, iron in HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

The reaction of a compound of formula (VIII) defined above with a compound of formula (IX) defined above to produce a compound of formula (X) may be carried out in the presence or absence of a base such as K_2CO_3, NaH, t-BuOK and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, CH_3CN, alcohol like methanol, ethanol or isopropanol and the like or mixtures thereof. The reaction may also be carried out in the presence of Lewis acids such as $BF_3.OEt_2$, $ZnCl_2$, $Ti(OiPr)_4$, lanthanide metal complexes and the like in the presence of DCE, DMF, THF and the like or mixtures thereof. The reaction temperature may be in the range of 30 °C to 120 °C , preferably at a temperature in the range of 30 °C to 100 °C. The reaction time may range from 3 to 24 h, preferably from 4 to 12 h.

In still another embodiment of the present invention there is provided a novel intermediate of the formula (XIV)



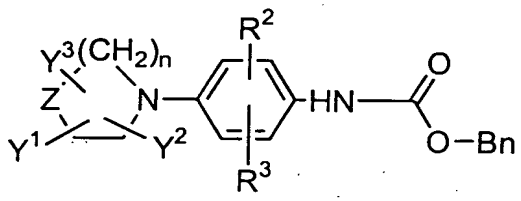
(XIV)

wherein R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, (C_1-C_6)alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_4)alkyl,

hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

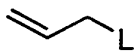
The novel intermediate of formula (XIV) may be prepared by a process, which comprises:

(i) reacting a compound of formula (III)



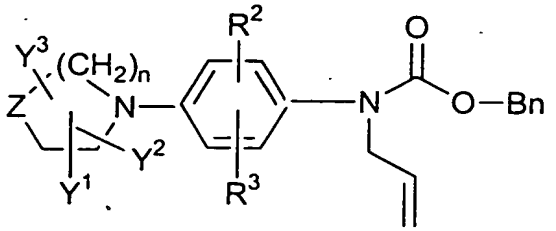
(III)

where Bn represents benzyl and all other symbols are as defined earlier with a compound of formula (XI)



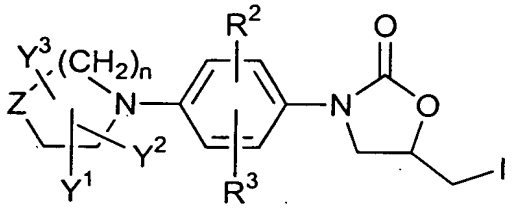
(XI)

where L is a leaving group to produce a compound of formula (XII) where all symbols are as defined above,



(XII)

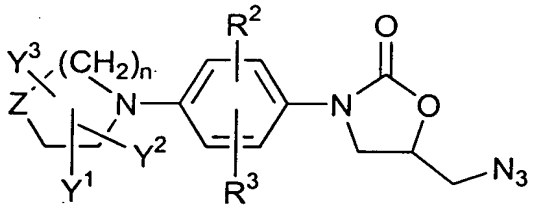
(ii) converting the compound of formula (XII) defined above to a compound of formula (XIII)



(XIII)

where all symbols are as defined above and

(iii) converting the compound of formula (XIII) defined above to a compound of formula (XIV)



(XIV)

by reacting with organic or inorganic azide.

The reaction of a compound of formula (III) with a compound of formula (XI) may be carried out in the presence of base such as NaH, KH, K_2CO_3, Na_2CO_3, potassium iodide or a phase transfer catalyst such as tetrabutylammonium halide and the like. The reaction may be carried out in the presence of a suitable solvent such as chloroform, THF, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of 30-120 °C, preferably at 60 °C. The reaction time may range from 2 to 20 h, preferably from 4 to 6 h.

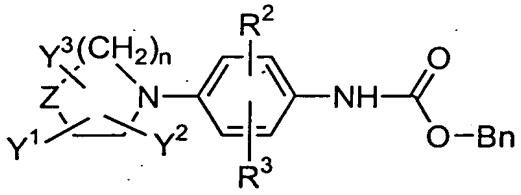
The conversion of a compound of formula (XII) to a compound of formula (XIII) defined above may be carried in the presence of a base such as I_2, KI, or NaI. The reaction may be carried out in the presence of solvents such as $CHCl_3$, CH_2Cl_2, THF,

DMF, DMSO and the like or mixtures thereof. The reaction temperature may be in the range of 0 °C to 100 °C, preferably at a temperature of 60 °C. The reaction time may range from 2 to 24 hours, preferably from 2 to 12 hours.

The conversion of a compound of formula (XIII) to a compound of formula (XIV) may be carried out in the presence of more than one equivalent of alkali metal azide such as LiN_3, NaN_3 or trialkyl silylazide. The reaction may be carried out in the presence of solvent such as THF, acetone, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using N_2, Ar, He and the like. The reaction may be carried out at a temperature in the range of ambient temperature to reflux temperature of the solvent, preferably at a temperature in the range of 50 °C to 80 °C. The reaction time may range from 0.5 h to 18 h, preferably 1 h to 4 h.

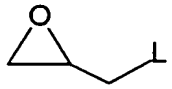
Alternatively, the novel intermediate of formula (XIV) may be prepared by a process, which comprises :

(i) reacting a compound of formula (III)



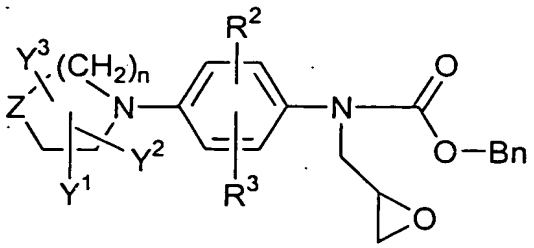
(III)

where Bn represents benzyl and all other symbols are as defined earlier with a compound of formula (XIX)



(XIX)

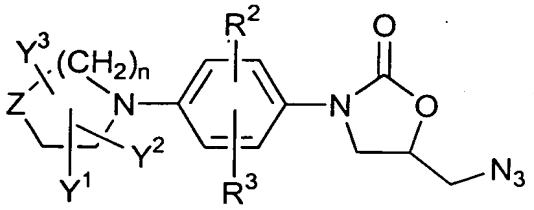
where L is a leaving group to produce a compound of formula (XX)



(XX)

where Bn represents benzyl and all other symbols are as defined above and

(ii) converting the compound of formula (XX) defined above to a compound of formula (XIV)



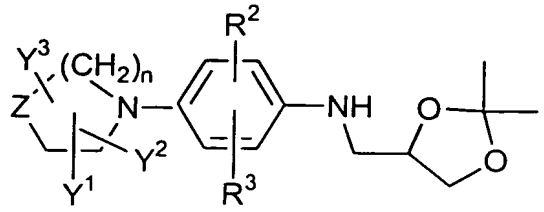
(XIV)

where all symbols are as defined above, by reacting with organic or inorganic azide.

The reaction of a compound of formula (III) defined above with a compound of formula (XIX) defined above may be carried out in the presence of a base such as NaH, Na_2CO_3, K_2CO_3, n-BuLi and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, DMSO and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of 0 °C to 70 °C preferably at a temperature in the range of 0 °C to 50 °C. The reaction time may range from 1 h to 15 h preferably 1 h to 10 h.

The conversion of a compound of formula (XX) to a compound of formula (XIV) may be carried out in the presence of more than one equivalent of alkali metal azide such as LiN_3, NaN_3 or trialkyl silylazide. The reaction may be carried out in the presence of solvent such as THF, acetone, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using N_2, Ar, He and the like. The reaction may be carried out at a temperature in the range of ambient temperature to reflux temperature of the solvent, preferably at a temperature in the range of 50 °C to 80 °C. The reaction time may range from 0.5 h to 18 h, preferably 1 h to 4 h.

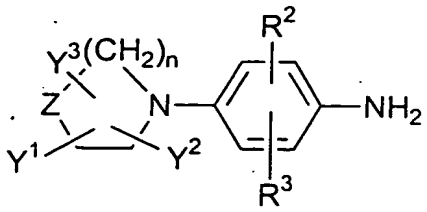
In still another embodiment of the present invention there is provided a novel intermediate of formula (XVII)



(XVII)

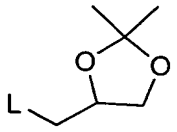
wherein R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, (C_1-C_6)alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_4)alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

The novel intermediate of formula (XVII) may be prepared by a process, which comprises : reacting a compound of formula (VIII)



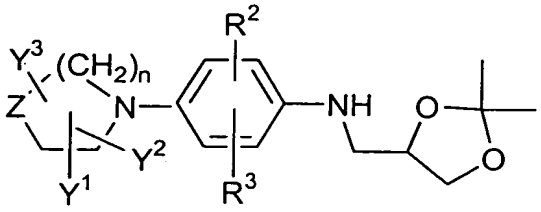
(VIII)

where all the symbols are as defined above with a compound of formula (XVI)



(XVI)

where L is a leaving group to produce a compound of formula (XVII)

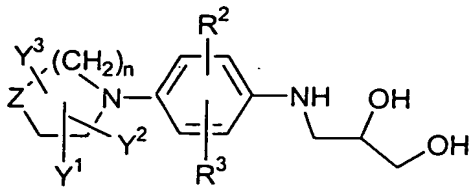


(XVII)

where all symbols are as defined above.

The reaction of a compound of formula (VIII) with a compound of formula (XVI) to produce a compound of formula (XVII) may be carried out in the presence of phase transfer catalyst such as tetrabutylammonium halide in the presence or absence of a base. The base employed may be selected from K_2CO_3, NaH, t-BuOK and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, DMSO, EtOH and the like or mixtures thereof. The reaction may be carried at a temperature in the range of 0 °C to 120 °C, preferably at a temperature in the range of 0 °C to 100 °C. The reaction time may range from 2 to 24 h, preferably from 2 to 20 h.

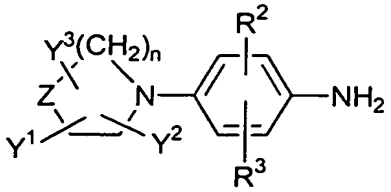
In yet another embodiment of the present invention there is provided a novel intermediate of formula (XVIII)



(XVIII)

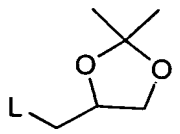
wherein R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, (C_1-C_6)alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_4)alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

The novel intermediate of formula (XVIII) may be prepared by a process which comprises: (i) reacting a compound of formula (VIII)



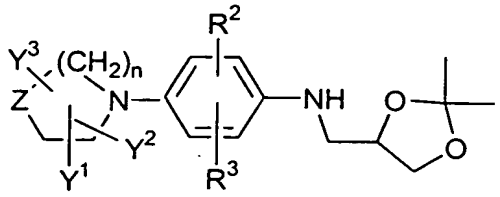
(VIII)

where all the symbols are as defined above with a compound of formula (XVI)



(XVI)

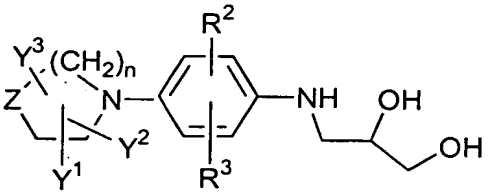
where L is a leaving group to produce a compound of formula (XVII)



(XVII)

where all symbols are as defined above and

(ii) hydrolysing the acetonide moiety in the compound of formula (XVII) using conventional methods to produce a compound of formula (XVIII)



(XVIII)

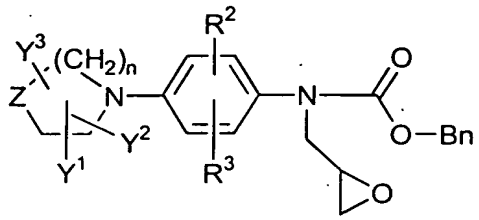
where all symbols are as defined above.

The reaction of a compound of formula (VIII) with a compound of formula (XVI) to produce a compound of formula (XVII) may be carried out in the presence of phase transfer catalyst such as tetrabutylammonium halide in the presence or absence of a base. The base employed may be selected from K_2CO_3, NaH, t-BuOK and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, DMSO, EtOH and the like or mixtures thereof. The reaction may be carried at a temperature in the range of 0 °C to 120 °C, preferably at a temperature in the range of 0 °C to 100 °C. The reaction time may range from 2 to 24 h, preferably from 2 to 20 h.

The hydrolysis of a compound of formula (XVII) to produce a compound of formula (XVIII) may be carried out using dilute mineral acid such as HCl, H_2SO_4 and the like, organic acids such as aqueous acetic acid, p-toluene sulfonic acid, trifluoro acetic acid and the like. The reaction may be carried out in the presence of suitable

solvent such as water, methanol, THF, dioxane and the like or mixtures thereof. The reaction may be carried at a temperature in the range of 30 °C to 100 °C, preferably at a temperature in the range of 30 °C to 60 °C. The reaction time may range from 10 min to 5 h, preferably from 30 min to 2.5 h.

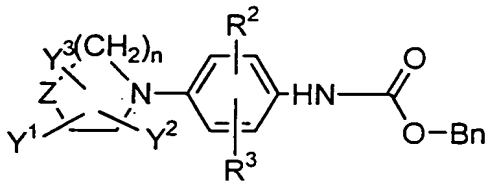
In yet another embodiment of the present invention there is provided a novel intermediate of formula (XX)



(XX)

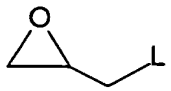
wherein Bn represents benzyl, R^2 and R^3 may be same or different and independently represent hydrogen, halogen atom, (C_1-C_6)alkyl group or haloalkyl; n represents an integer in the range of 1 to 3; when n represents 1, Z represents NH, S, O or =CH, Y^1 represents =O or =S group and Y^2 or Y^3 represents hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_4)alkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; when n represents 2 or 3, Z represents CH_2, NH, S, O or =CH, Y^1 represents hydrogen, =O or =S group; Y^2 or Y^3 represents nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from alkoxyalkyl, alkoxycarbonyl, carboxyalkyl, alkylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, alkylamino, arylamino, (C_1-C_4)alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl groups; any two of Y^1, Y^2 and Y^3 when present on adjacent carbon atoms together form a 5-6 membered aromatic or non-aromatic cyclic structure, containing one or two hetero atoms.

The novel intermediate of formula (XX) may be prepared by a process, which comprises : reacting a compound of formula (III)



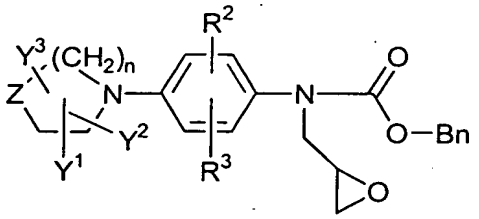
(III)

where Bn represents benzyl and all other symbols are as defined earlier with a compound of formula (XIX)



(XIX)

where L is a leaving group to produce a compound of formula (XX).



(XX)

where Bn represents benzyl and all other symbols are as defined above.

The reaction of a compound of formula (III) defined above with a compound of formula (XIX) defined above may be carried out in the presence of a base such as NaH, Na_2CO_3, K_2CO_3, n-BuLi and the like or mixtures thereof. The reaction may be carried out in the presence of solvents such as DMF, THF, DMSO and the like or mixtures thereof. The reaction may be carried out at a temperature in the range of 0 °C to 70 °C preferably at a temperature in the range of 0 °C to 50 °C. The reaction time may range from 1 h to 15 h preferably 1 h to 10 h.

The leaving group represented by L in any of the formulae above may be a halogen atom like chlorine, bromine or iodine or other leaving groups such as mesylate, tosylate, triflate and the like.

The enantiomer which is pharmacologically active is the enantiomer with the "S" configuration. The racemic mixture and the "R" enantiomer are also useful in the same way and for the same purpose as the "S" enantiomer. However, the activity of the

racemic mixture and the "R" enantiomer would differ from the "S" enantiomer. The enantiomers may be prepared by using reactants in their single enantiomeric form in the process wherever applicable or by conducting the reaction in the presence of reagents or catalysts in their single enantiomeric form. The single enantiomers may also be prepared by resolving the racemic mixture by conventional methods.

Various polymorphs of a compound of general formula (I) forming part of this invention may be prepared by crystallization of compound of formula (I) under different conditions. For example, using different solvents commonly used or their mixtures for recrystallization; crystallizations at different temperatures; various modes of cooling, ranging from very fast to very slow cooling during crystallizations. Polymorphs may also be obtained by heating or melting the compound followed by gradual or fast cooling. The presence of polymorphs may be determined by solid probe nmr spectroscopy, IR spectroscopy, differential scanning calorimetry, powder X-ray diffraction or such other techniques.

The present invention also provides pharmaceutical compositions, containing compounds of the general formula (I), as defined above, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts or their pharmaceutically acceptable solvates in combination with the usual pharmaceutically employed carriers, diluents and the like. The pharmaceutical compositions according to this invention can be used for the treatment of bacterial infections. They can also be used for the treatment of bacterial infections associated with multidrug resistance.

The pharmaceutical compositions may be in the forms normally employed, such as tablets, capsules, powders, syrups, solutions, suspensions and the like, may contain flavorants, sweeteners etc. in suitable solid or liquid carriers or diluents, or in suitable sterile media to form injectable solutions or suspensions. Such compositions typically contain from 1 to 20 %, preferably 1 to 10 % by weight of active compound, the remainder of the composition being pharmaceutically acceptable carriers, diluents or solvents. Suitable pharmaceutically acceptable carriers include solid fillers or diluents

and sterile aqueous or organic solutions. The active compounds will be present in such pharmaceutical compositions in the amounts sufficient to provide the desired dosage in the range as described above. Thus, for oral administration, the compounds can be combined with a suitable solid, liquid carrier or diluent to form capsules, tablets, powders, syrups, solutions, suspensions and the like. The pharmaceutical compositions, may, if desired, contain additional components such as flavorants, sweeteners, excipients and the like. For parenteral administration, the compounds can be combined with sterile aqueous or organic media to form injectable solutions or suspensions. For example, solutions in sesame or peanut oil, aqueous propylene glycol and the like can be used, as well as aqueous solutions of water-soluble pharmaceutically-acceptable acid addition salts or salts with base of the compounds. The injectable solutions prepared in this manner can then be administered intravenously, intraperitoneally, subcutaneously, or intramuscularly, with intramuscular administration being preferred in humans.

In addition to the compounds of formula (I) the pharmaceutical compositions of the present invention may also contain or be co-administered with one or more known drugs selected from other clinically useful antibacterial agents such as β–lactams or aminoglycosides. These may include penicillins such as oxacillin or flucloxacillin and carbapenems such as meropenem or imiphenem to broaden the therapeutic effectiveness against, for example, methicillin-resistant staphylococci. Compounds of the formula (I) of the present invention may also contain or be co-admistered with bactericidal/permeability-increasing protein product (BPI) or efflux pump inhibitors to improve activity against gram negative bacteria and bacteria resistant to antimicrobial agents.

The compounds of the formula (I) as defined above are clinically administered to mammals, including human beings, via either oral or parenteral routes. Administration by the oral route is preferred, being more convenient and avoiding the possible pain and irritation of injection. However, in circumstances where the patient cannot swallow the medication, or absorption following oral administration is impaired, as by disease or other abnormality, it is essential that the drug be administered parenterally. By either

route, the dosage is in the range of about 5 mg/kg to about 20 mg / kg body weight of the subject per day administered singly or as a divided dose. However, the optimum dosage for the individual subject being treated will be determined by the person responsible for treatment, generally smaller doses being administered initially and thereafter increments made to determine the most suitable dosage.

In vitro antimicrobial activity of the compounds of the present invention was tested using the procedure described by National Committee for Clinical Laboratory Standards (NCCLS). MICs were determined by agar dilution technique as per the guidelines prescribed in the third edition of Approved Standards, NCCLS document M7-A3 Vol 13 – No 25, 1993. Villinova, PA.

Initial stock solution of the test compound was prepared in DMSO. Subsequent two fold dilutions were made using sterile water. 1 ml of stock solution of the appropriate dilution was added to 9 ml of sterile, molten, Mueller Hinton medium maintained in a water bath at 45 °C. The drug supplemented media was thoroughly mixed, poured into 90 mm presterilized plastic petri dishes and allowed to solidify and dry at room temperature.

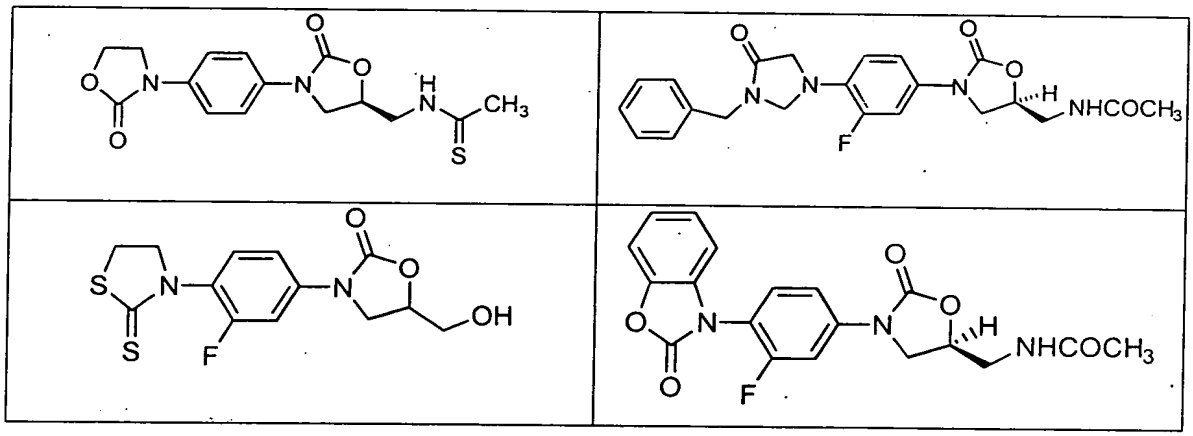
The test bacteria were grown in Nutrient broth consisting of (g/L) Peptone 5, Yeast 1.5, Beef extract 1.5, NaCl 5; the flasks were incubated overnight at 28 °C ± 0.5 on a rotary shaker at 220 RPM. *Enterococcus faecalis* and *Enterococcus faecium* were grown in Brain Heart Infusion broth having the following composition (g/L) : Calf brain infusion 200, Brain heart infusion 250, Protease peptone 10, NaCl 5, disodium phosphate 2.5, Dextrose 2. The cultures were diluted with sterile broth to obtain a turbidity of 0.5 McFarlands standard or its equivalent, which corresponds to 1-2 x 10^8 CFU/ml. The above stock was finally diluted ten times to obtain the desired inoculum concentration of 10^7 CFU/ml.

Antibiotic supplemented agar plates were inoculated with a sterilized multipoint inoculating devise, where each pin was designed to deliver 1-2 µL of culture yielding approximately 10^4 -10^5 cells/spot.

A growth control plate without antibacterial agents was inoculated at the beginning and after all the plates were inoculated. The inoculum spots on the agar were allowed to dry for 10-15 min at room temperature. The plates were incubated at 35 °C for 24 hrs.

MIC was read as the lowest concentration of drug that inhibited visible growth of organism. Single colony or a faint haze should be disregarded. MICs were reported as μg/ml.

A few representative compounds of the invention described in this application are shown below :



Dated this Twenty Second (22nd) day of December 2000

Dr. S. Padmaja
Sr. Manager - IPM
Dr. Reddy's Research Foundation